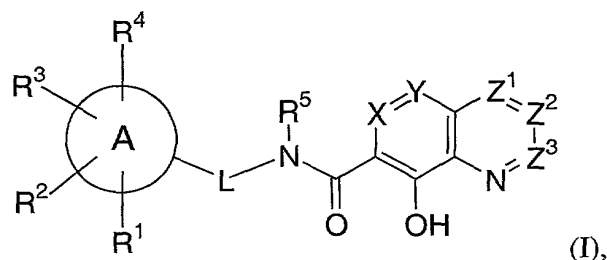


WHAT IS CLAIMED IS:

1. A compound of Formula (I):



- 5 wherein A is phenyl or phenyl fused to a carbocycle to form a fused carbocyclic ring system;

A is substituted by R¹, R², R³, and R⁴;

- 10 L is a linker connecting a ring atom of A to the nitrogen of the -N(R⁵)- moiety, wherein L is

- (i) a single bond,
 (ii) -(C₁₋₆ alkyl)-,
 (iii) -(C₂₋₆ alkenyl)-,
 15 (iv) -(C₀₋₆ alkyl)-(C₃₋₆ cycloalkyl)-(C₀₋₆ alkyl)-, or
 (v) -(C₀₋₆ alkyl)-M-(C₀₋₆ alkyl)-, wherein M is -N(R^a)-,
 -OC(=O)-, or -C(=O)O-; wherein the alkenyl in (iii) and the alkyls in (ii), (iv), and (v)
 are independently and optionally substituted with 1, 2, or 3 substituents independently
 20 selected from the group consisting of halogen, -OH, -C₁₋₆ alkyl, -O-C₁₋₆ alkyl,
 -CO₂R^a, -CO₂(CH₂)₁₋₂R^k, -C₁₋₆ alkyl-OR^a, -R^k, -(CH₂)₁₋₂R^k, -CH(OR^a)-R^k, and
 -CH(N(R^a)₂)-R^k;

X is N or C-Q¹;

- 25 Y is N or C-Q², provided that X and Y are not both N;

Z¹ is N or C-Q³;

Z^2 is N or C- Q^4 ;

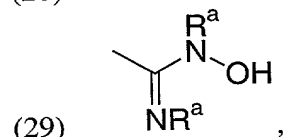
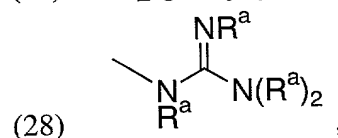
Z^3 is N or CH;

5 Q^1 , Q^2 , Q^3 , and Q^4 are as defined in (i) or (ii) as follows:

(i) each of Q^1 , Q^2 , Q^3 , and Q^4 is independently

- | | | |
|----|------|--|
| | (1) | -H, |
| | (2) | -C ₁₋₆ alkyl, |
| 10 | (3) | -C ₁₋₆ haloalkyl, |
| | (4) | -O-C ₁₋₆ alkyl, |
| | (5) | -O-C ₁₋₆ haloalkyl, |
| | (6) | halo, |
| | (7) | -CN, |
| 15 | (8) | -C ₁₋₆ alkyl-OR ^a , |
| | (9) | -C ₀₋₆ alkyl-C(=O)R ^a , |
| | (10) | -C ₀₋₆ alkyl-CO ₂ R ^a , |
| | (11) | -C ₀₋₆ alkyl-SR ^a , |
| | (12) | -N(R ^a) ₂ , |
| 20 | (13) | -C ₁₋₆ alkyl-N(R ^a) ₂ , |
| | (14) | -C ₀₋₆ alkyl-C(=O)N(R ^a) ₂ , |
| | (15) | -C ₀₋₆ alkyl-G-C ₁₋₆ alkyl-C(=O)N(R ^a) ₂ , wherein G is O, S,
N(R ^a), or N(SO ₂ R ^a), |
| | (16) | -N(R ^a)-C(R ^a)=O, |
| 25 | (17) | -C ₁₋₆ alkyl-N(R ^a)-C(R ^a)=O, |
| | (18) | -C(=O)-N(R ^a)-C ₁₋₆ alkyl-[C(=O)] ₀₋₁ -N(R ^a) ₂ , |
| | (19) | -C(=O)-N(R ^a)-C ₁₋₆ alkyl substituted with 1 or 2 -OR ^a , |
| | (20) | -C ₀₋₆ alkyl-SO ₂ R ^a , |
| | (21) | -C ₀₋₆ alkyl-N(R ^a)SO ₂ R ^a , |
| 30 | (22) | -C ₂₋₆ alkenyl, |
| | (23) | -C ₂₋₆ alkenyl-C(=O)-N(R ^a) ₂ , |
| | (24) | -C ₂₋₅ alkynyl, |
| | (25) | -C ₂₋₅ alkynyl-CH ₂ N(R ^a) ₂ , |
| | (26) | -C ₂₋₅ alkynyl-CH ₂ OR ^a , |

(27) $-C_{2-5}$ alkynyl- $CH_2S(O)_n-R^a$, or



(30) $-C(=NR^a)-N(R^a)_2$,

5 (31) $-N(R^a)-C_{1-6}$ alkyl- $S(O)_nR^a$,

(32) $-N(R^a)-C_{1-6}$ alkyl- OR^a ,

(33) $-N(R^a)-C_{1-6}$ alkyl- $N(R^a)_2$,

(34) $-N(R^a)-C_{1-6}$ alkyl- $N(R^a)-C(R^a)=O$,

(35) $-N(R^a)-C_{0-6}$ alkyl- $[C(=O)]_{1-2}N(R^a)_2$,

10 (36) $-N(R^a)-C_{1-6}$ alkyl- CO_2R^a ,

(37) $-N(R^a)C(=O)N(R^a)-C_{1-6}$ alkyl- $C(=O)N(R^a)_2$,

(38) $-N(R^a)C(=O)-C_{1-6}$ alkyl- $N(R^a)_2$,

(39) $-N(R^a)-SO_2-N(R^a)_2$,

(40) $-R^k$,

15 (41) $-C_{1-6}$ alkyl substituted with R^k ,

(42) $-C_{1-6}$ haloalkyl substituted with R^k ,

(43) $-C_{2-5}$ alkenyl- R^k ,

(44) $-C_{2-5}$ alkynyl- R^k ,

(45) $-C_{0-6}$ alkyl- $O-R^k$,

20 (46) $-C_{0-6}$ alkyl- $O-C_{1-6}$ alkyl- R^k ,

(47) $-C_{0-6}$ alkyl- $S(O)_n-R^k$,

(48) $-C_{0-6}$ alkyl- $S(O)_n-C_{1-6}$ alkyl- R^k ,

(49) $-O-C_{1-6}$ alkyl- OR^k ,

(50) $-O-C_{1-6}$ alkyl- $O-C_{1-6}$ alkyl- R^k ,

25 (51) $-O-C_{1-6}$ alkyl- $S(O)_nR^k$,

(52) $-C_{0-6}$ alkyl- $N(R^c)-R^k$,

(53) $-C_{0-6}$ alkyl- $N(R^c)-C_{1-6}$ alkyl substituted with one or two R^k groups,

(54) $-C_{0-6}$ alkyl- $N(R^c)-C_{1-6}$ alkyl- OR^k ,

30 (55) $-C_{0-6}$ alkyl- $C(=O)-R^k$,

- (56) $-C_{0-6}$ alkyl- $C(=O)N(R^a)-R^k$,
 (57) $-C_{0-6}$ alkyl- $N(R^a)C(=O)-R^k$,
 (58) $-C_{0-6}$ alkyl- $C(=O)N(R^a)-C_{1-6}$ alkyl- R^k , or
 (59) $-C_{0-6}$ alkyl- $N(R^a)-C_{0-6}$ alkyl- $S(O)_nR^k$;

5

(ii) alternatively, Q^2 and Q^3 together with the carbon atoms to which they are attached and the fused ring carbon atom attached therebetween form a 5- or 6-membered monocyclic carbocycle or a 5- or 6-membered monocyclic heterocycle, wherein the heterocycle contains 1 or 2 heteroatoms selected from nitrogen, oxygen and sulfur, and wherein either the carbocycle or heterocycle is

10

15

20

25

- (1) $-C_{1-6}$ alkyl,
 (3) $-C_{1-6}$ haloalkyl,
 (4) $-O-C_{1-6}$ alkyl,
 (5) $-O-C_{1-6}$ haloalkyl,
 (6) halo,
 (7) $-CN$,
 (8) $-C_{1-6}$ alkyl- OR^a ,
 (9) $-C_{1-6}$ alkyl- $S(O)_nR^a$,
 (10) $-C_{1-6}$ alkyl- $N(R^a)_2$,
 (11) $-C_{1-6}$ alkyl- $C(=O)-N(R^a)_2$,
 (12) $-C_{1-6}$ alkyl- CO_2R^a ,
 (13) oxo,
 (14) $-R^k$, and
 (15) $-C_{1-6}$ alkyl substituted with R^k ; and

Q^1 and Q^4 are independently as defined in (i) above;

each of R^1 and R^2 is independently:

30

- (1) $-H$,
 (2) $-C_{1-6}$ alkyl,
 (3) $-C_{1-6}$ haloalkyl,
 (4) $-O-C_{1-6}$ alkyl,
 (5) $-O-C_{1-6}$ haloalkyl,

- 5 (6) -OH
 (7) halo,
 (8) -NO₂,
 (9) -CN,
 (10) -C₁₋₆ alkyl-OR^a,
 (11) -C₀₋₆ alkyl-C(=O)R^a,
 (12) -C₀₋₆ alkyl-CO₂R^a,
 (13) -C₀₋₆ alkyl-SR^a,
 (14) -N(R^a)₂,
 10 (15) -C₁₋₆ alkyl-N(R^a)₂,
 (16) -C₀₋₆ alkyl-C(=O)N(R^a)₂,
 (17) -C₁₋₆ alkyl-N(R^a)-C(R^a)=O,
 (18) -SO₂R^a,
 (19) -N(R^a)SO₂R^a,
 15 (20) -C₂₋₅ alkenyl,
 (21) -O-C₁₋₆ alkyl-OR^a,
 (22) -O-C₁₋₆ alkyl-SR^a,
 (23) -O-C₁₋₆ alkyl-NH-CO₂R^a,
 (24) -O-C₂₋₆ alkyl-N(R^a)₂,
 20 (25) -N(R^a)-C₁₋₆ alkyl-SR^a,
 (26) -N(R^a)-C₁₋₆ alkyl-OR^a,
 (27) -N(R^a)-C₁₋₆ alkyl-N(R^a)₂,
 (28) -N(R^a)-C₁₋₆ alkyl-N(R^a)-C(R^a)=O,
 (29) -R^k,
 25 (30) -C₁₋₆ alkyl substituted with 1 or 2 R^k groups,
 (31) -C₁₋₆ haloalkyl substituted with 1 or 2 R^k groups,
 (32) -C₂₋₅ alkenyl-R^k,
 (33) -C₂₋₅ alkynyl-R^k,
 (34) -O-R^k,
 30 (35) -O-C₁₋₆ alkyl-R^k,
 (36) -S(O)_n-R^k,
 (37) -S(O)_n-C₁₋₆ alkyl-R^k,
 (38) -O-C₁₋₆ alkyl-OR^k,
 (39) -O-C₁₋₆ alkyl-O-C₁₋₆ alkyl-R^k,

- 5
- (40) $-O-C_{1-6} \text{ alkyl}-S(O)_n R^k$,
 - (41) $-C_{1-6} \text{ alkyl } (OR^b)(R^k)$,
 - (42) $-C_{1-6} \text{ alkyl } (OR^b)(-C_{1-6} \text{ alkyl}-R^k)$,
 - (43) $-C_{0-6} \text{ alkyl}-N(R^b)(R^k)$,
 - (44) $-C_{0-6} \text{ alkyl}-N(R^b)(-C_{1-6} \text{ alkyl}-R^k)$,
 - (45) $-C_{1-6} \text{ alkyl } S(O)_n R^k$,
 - (46) $-C_{1-6} \text{ alkyl } S(O)_n -C_{1-6} \text{ alkyl}-R^k$,
 - (47) $-C_{0-6} \text{ alkyl } C(O)-R^k$, or
 - (48) $-C_{0-6} \text{ alkyl } C(O)-C_{1-6} \text{ alkyl}-R^k$,

10

each of R^3 and R^4 is independently

- 15
- (1) $-H$,
 - (2) halo,
 - (3) $-CN$,
 - (4) $-NO_2$,
 - (5) $-OH$,
 - (6) $C_{1-6} \text{ alkyl}$,
 - (7) $C_{1-6} \text{ haloalkyl}$,
 - (8) $-O-C_{1-6} \text{ alkyl}$,
 - (9) $-O-C_{1-6} \text{ haloalkyl}$,
 - (10) $-C_{1-6} \text{ alkyl}-OR^a$,
 - (11) $-C_{0-6} \text{ alkyl}-C(=O)R^a$,
 - (12) $-C_{0-6} \text{ alkyl}-CO_2R^a$,
 - (13) $-C_{0-6} \text{ alkyl}-SR^a$,
 - (14) $-N(R^a)_2$,
 - (15) $-C_{1-6} \text{ alkyl}-N(R^a)_2$,
 - (16) $-C_{0-6} \text{ alkyl}-C(=O)N(R^a)_2$,
 - (17) $-SO_2R^a$,
 - (18) $-N(R^a)SO_2R^a$,
 - (19) $-C_{2-5} \text{ alkenyl}$,
 - (20) $-O-C_{1-6} \text{ alkyl}-OR^a$,
 - (21) $-O-C_{1-6} \text{ alkyl}-SR^a$,
 - (22) $-O-C_{1-6} \text{ alkyl}-NH-CO_2R^a$, or
 - (23) $-O-C_{2-6} \text{ alkyl}-N(R^a)_2$;
- 20
- 25
- 30

R⁵ is

- (1) -H,
- (2) -C₁₋₆ alkyl, optionally substituted with from 1 to 5 substituents independently selected from halogen, -O-C₁₋₆ alkyl, -O-C₁₋₆ haloalkyl, -N(R^a)₂, and -CO₂R^a;
- (3) aryl optionally substituted with from 1 to 5 substituents independently selected from halogen, C₁₋₆ alkyl, C₁₋₆ haloalkyl, -O-C₁₋₆ alkyl, -O-C₁₋₆ haloalkyl, -S-C₁₋₆ alkyl, -CN, and -OH, or
- (4) -C₁₋₆ alkyl substituted with R^k;

each R^a is independently -H, -C₁₋₆ alkyl, or -C₁₋₆ haloalkyl;

each R^b is independently:

- (1) -H,
- (2) -C₁₋₄ alkyl,
- (3) -C₁₋₄ haloalkyl,
- (4) -R^k,
- (5) -C₂₋₃ alkenyl,
- (6) -C₁₋₄ alkyl-R^k,
- (7) -C₂₋₃ alkenyl-R^k,
- (8) -S(O)_n-R^k, or
- (9) -C(O)-R^k;

25

each R^c is independently

- (1) -H,
- (2) -C₁₋₆ alkyl,
- (3) -C₁₋₆ alkyl substituted with -N(R^a)₂, or
- (4) -C₁₋₄ alkyl-aryl, wherein aryl is optionally substituted with 1 to 5 substituents independently selected from halogen, C₁₋₆ alkyl, C₁₋₆ haloalkyl, -O-C₁₋₆ alkyl, -O-C₁₋₆ haloalkyl, -S-C₁₋₆ alkyl, -CN, and -OH;

30

each R^k is independently carbocycle or heterocycle, wherein the carbocycle and heterocycle are unsubstituted or substituted with from 1 to 5 substituents each of which is independently selected from

- | | |
|----|---|
| 5 | (a) halogen, |
| | (b) $-C_{1-6}$ alkyl, |
| | (c) $-C_{1-6}$ haloalkyl, |
| | (d) $-O-C_{1-6}$ alkyl, |
| | (e) $-O-C_{1-6}$ haloalkyl, |
| | (f) $-S-C_{1-6}$ alkyl, |
| 10 | (g) $-CN$, |
| | (h) $-OH$, |
| | (i) oxo, |
| | (j) $-C_{0-6}$ alkyl- $C(=O)N(R^a)_2$, |
| | (k) $-C_{0-6}$ alkyl- $C(=O)R^a$, |
| 15 | (l) $-N(R^a)-C(=O)R^a$, |
| | (m) $-N(R^a)-CO_2R^a$, |
| | (n) $-C_{1-6}$ alkyl- $N(R^a)-C(=O)R^a$, |
| | (o) $-N(R^a)_2$, |
| | (p) $-C_{1-6}$ alkyl- $N(R^a)_2$, |
| 20 | (q) $-C_{1-6}$ alkyl- OR^a , |
| | (r) $-C_{0-6}$ alkyl- CO_2R^a , |
| | (s) $-C_{0-6}$ alkyl- $O-C_{1-6}$ alkyl- OR^a , |
| | (t) $-SO_2R^a$, |
| | (u) $-SO_2N(R^a)_2$, |
| 25 | (v) $-C_{0-6}$ alkyl- CO_2-C_{2-5} alkenyl, |
| | (w) aryl, |
| | (x) aryloxy-, |
| | (y) $-C_{1-4}$ alkyl substituted with aryl, |
| | (z) heteromonocycle, |
| 30 | (aa) $-C_{1-4}$ alkyl substituted with a heteromonocycle, |
| | (bb) heteromonocyclylcarbonyl- C_{0-6} alkyl-, and |
| | (cc) N-heteromonocyclyl- $N-C_{1-6}$ alkyl-amino-; |
- wherein the aryl group in (w) aryl, (x) aryloxy, and (y) $-C_{1-4}$ alkyl substituted with aryl, is optionally substituted with from 1 to 4

substituents independently selected from halogen, C₁₋₆ alkyl, -O-C₁₋₆ alkyl, C₁₋₆ alkyl substituted with N(R^a)₂, C₁₋₆ haloalkyl, and -OH; and

5 wherein the heteromonocyclyl group in (z) heteromonocycle, (aa) -C₁₋₄ alkyl substituted with a heteromonocycle, (bb) heteromonocyclyl-carbonyl-C₀₋₆ alkyl-, and (cc) N-heteromonocyclyl-N-C₁₋₆ alkyl-amino- is optionally substituted with from 1 to 4 substituents independently selected from halogen, C₁₋₆ alkyl, -O-C₁₋₆ alkyl, C₁₋₆ haloalkyl, oxo, and -OH; and

10 each n is independently an integer equal to 0, 1 or 2;

and with the proviso that when Z¹ is C-Q³, Z² is C-Q⁴, Z³ is CH, and X is C-Q¹, then Y is not C-Q²;

15 or a pharmaceutically acceptable salt thereof.

2. The compound according to claim 1, wherein

20 X is N;

Y is C-Q²;

25 Z¹ is C-Q³;

Z² is C-Q⁴; and

Z³ is CH;

30 or a pharmaceutically acceptable salt thereof.

3. The compound according to claim 2, wherein

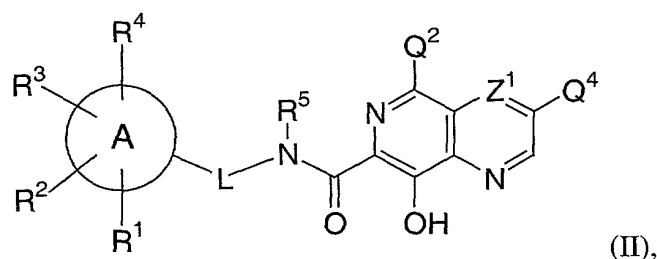
A is phenyl; and

Q^3 and Q^4 are both -H;

or a pharmaceutically acceptable salt thereof.

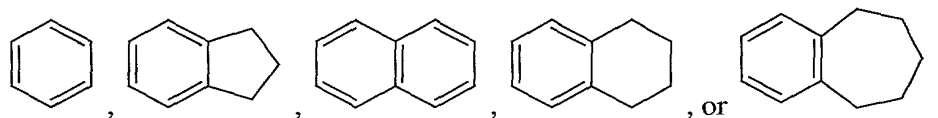
5

4. The compound according to claim 1, which is a compound of Formula (II):



10 wherein

A is

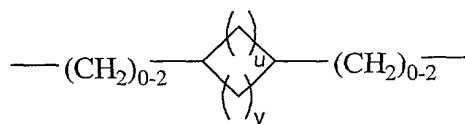


15

L is

- (i) a single bond;
- (ii) $-(CH_2)_{1-3}-$, which is optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, -OH, -C₁₋₄ alkyl, -O-C₁₋₄ alkyl, -CO₂CH₃, -CO₂CH₂-phenyl, phenyl, benzyl, $-(CH_2)_{1-2}OH$, -CH(OH)-phenyl, and -CH(NH₂)-phenyl;
- (iii) $-(CH_2)_{0-1}-CH=CH-(CH_2)-$, which is optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, -OH, -C₁₋₄ alkyl, and -O-C₁₋₄ alkyl;
- (iv)

25



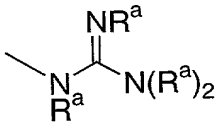
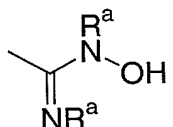
, wherein u and v are each integers having a value of from 0 to 4, provided that the sum of u + v is 1, 2, 3 or 4; or

- (v) a heteroatom-containing chain which is $-N(R^a)-(CH_2)_{1-2}-$,
 5 $-CH_2-OC(=O)-CH_2-$, or $-CH_2-C(=O)O-CH_2-$;

Z^1 is N or C- Q^3 ;

Q^2 and Q^3 are as defined in (i) or (ii) as follows:

- | | | |
|----|-----|---|
| 10 | (i) | Q^2 is |
| | | (1) -H, |
| | | (2) -C ₁₋₄ alkyl, |
| | | (3) -C ₁₋₄ fluoroalkyl, |
| | | (4) -O-C ₁₋₄ alkyl, |
| 15 | | (5) -O-C ₁₋₄ fluoroalkyl, |
| | | (6) halo, |
| | | (7) -CN, |
| | | (8) -C ₁₋₄ alkyl-OR ^a , |
| | | (9) $-(CH_2)_{0-2}C(=O)R^a$, |
| 20 | | (10) $-(CH_2)_{0-2}CO_2R^a$, |
| | | (11) $-(CH_2)_{0-2}SR^a$, |
| | | (12) $-N(R^a)_2$, |
| | | (13) -C ₁₋₄ alkyl - $N(R^a)_2$, |
| | | (14) $-(CH_2)_{0-2}C(=O)N(R^a)_2$, |
| 25 | | (15) -G-C ₁₋₆ alkyl-C(=O) $N(R^a)_2$, wherein G is O, S, $N(R^a)$, or $N(SO_2R^a)$, |
| | | (16) $-N(R^a)-C(R^a)=O$, |
| | | (17) $-(CH_2)_{1-3}-N(R^a)-C(R^a)=O$, |
| | | (18) $-C(=O)-N(R^a)-(CH_2)_{1-3}-[C(=O)]_{0-1}-N(R^a)_2$, |
| 30 | | (19) $-C(=O)-N(R^a)-C_{1-4}$ alkyl substituted with 1 or 2 -OR ^a , |
| | | (20) -SO ₂ R ^a , |
| | | (21) $-N(R^a)SO_2R^a$, |

- 5
- (22) -C₂₋₄ alkenyl,
 (23) -C₂₋₄ alkenyl-C(=O)-N(R^a)₂,
 (24) -C₂₋₃ alkynyl,
 (25) $\text{—C}\equiv\text{C—CH}_2\text{N(R}^a\text{)}_2$,
 (26) $\text{—C}\equiv\text{C—CH}_2\text{OR}^a$,
 (27) $\text{—C}\equiv\text{C—CH}_2\text{SR}^a$,
 (28) $\text{—C}\equiv\text{C—CH}_2\text{SO}_2\text{R}^a$,
- (29) ,
- (30) ,
- 10
- (31) -N(R^a)-C₁₋₄ alkyl-SR^a,
 (32) -N(R^a)-C₁₋₄ alkyl-OR^a,
 (33) -N(R^a)-C₁₋₄ alkyl-N(R^a)₂,
 (34) -N(R^a)-C₁₋₄ alkyl-N(R^a)-C(R^a)=O,
 (35) -N(R^a)-C₀₋₄ alkyl-[C(=O)]₁₋₂N(R^a)₂,
 (36) -N(R^a)-C₁₋₄ alkyl-CO₂R^a,
 (37) -N(R^a)C(=O)N(R^a)-C₁₋₄ alkyl-C(=O)N(R^a)₂,
 (38) -N(R^a)C(=O)-C₁₋₄ alkyl-N(R^a)₂,
 (39) -N(R^a)-SO₂-N(R^a)₂,
- 15
- (40) -R^k,
 (41) -C₁₋₄ alkyl substituted with R^k,
 (42) -C₁₋₄ fluoroalkyl substituted with R^k,
 (43) -C₂₋₅ alkenyl-R^k,
 (44) -C₂₋₅ alkynyl-R^k,
- 20
- (45) -O-R^k,
 (46) -O-C₁₋₄ alkyl-R^k,
 (47) -S(O)_n-R^k,
 (48) -S(O)_n-C₁₋₄ alkyl-R^k,
 (49) -O-C₁₋₄ alkyl-OR^k,
 (50) -O-C₁₋₄ alkyl-O-C₁₋₄ alkyl-R^k,
 (51) -O-C₁₋₄ alkyl-S(O)_nR^k,
- 25
- 30

- 5 (52) $-N(R^c)-R^k$,
 (53) $-N(R^c)-C_{1-4}$ alkyl substituted with one or two R^k groups,
 (54) $-N(R^c)-C_{1-4}$ alkyl- OR^k ,
 (55) $-C(=O)-R^k$,
 (56) $-C(=O)N(R^a)-R^k$,
 (57) $-N(R^a)C(=O)-R^k$,
 (58) $-C(=O)N(R^a)-C_{1-4}$ alkyl- R^k , or
 (59) $-N(R^a)-C_{0-4}$ alkyl- $S(O)_nR^k$;
- 10 Q^3 is
 (1) $-H$,
 (2) $-C_{1-4}$ alkyl,
 (3) $-C_{1-4}$ fluoroalkyl,
 (4) $-O-C_{1-4}$ alkyl,
 15 (5) $-O-C_{1-4}$ fluoroalkyl,
 (6) halo selected from $-F$, $-Cl$, and $-Br$,
 (7) $-CN$,
 (8) $-C_{1-4}$ alkyl- OR^a , or
 (9) $-C_{1-4}$ alkyl substituted with R^k ; or
- 20 (ii) alternatively, Q^2 and Q^3 together with the carbon atoms to which they are attached and the fused ring carbon atom attached therebetween form a 5- or 6-membered monocyclic heterocycle, wherein the heterocycle contains 1 or 2 heteroatoms selected from nitrogen, oxygen and sulfur, and wherein the heterocycle is
- 25 optionally substituted with from 1 to 3 substituents independently selected from
 (1) $-C_{1-4}$ alkyl,
 (3) $-C_{1-4}$ fluoroalkyl,
 (4) $-O-C_{1-4}$ alkyl,
 (5) $-O-C_{1-4}$ fluoroalkyl,
- 30 (6) halo,
 (7) $-CN$,
 (8) $-C_{1-4}$ alkyl- OR^a ,
 (9) $-C_{1-4}$ alkyl- $S(O)_nR^a$,
 (10) $-C_{1-4}$ alkyl- $N(R^a)_2$,

- 5
- (11) $-C_{1-4}$ alkyl- $C(=O)-N(R^a)_2$,
 - (12) $-C_{1-4}$ alkyl- CO_2R^a ,
 - (13) oxo,
 - (14) $-R^k$, and
 - (15) $-C_{1-4}$ alkyl substituted with R^k ;

Q^4 is:

- 10
- (1) $-H$,
 - (2) $-C_{1-4}$ alkyl,
 - (3) $-C_{1-4}$ fluoroalkyl,
 - (4) $-O-C_{1-4}$ alkyl,
 - (5) $-O-C_{1-4}$ fluoroalkyl,
 - (6) halo selected from $-F$, $-Cl$, and $-Br$,
 - (7) $-CN$,
 - 15 (8) $-C_{1-6}$ alkyl- OR^a ,
 - (9) $-N(R^a)_2$, or
 - (10) $-C_{1-6}$ alkyl $-N(R^a)_2$;

each of R^1 and R^2 is independently:

- 20
- (1) $-H$,
 - (2) $-C_{1-4}$ alkyl,
 - (3) $-C_{1-4}$ fluoroalkyl,
 - (4) $-O-C_{1-4}$ alkyl,
 - (5) $-O-C_{1-4}$ fluoroalkyl,
 - 25 (6) $-OH$,
 - (7) halo,
 - (8) $-CN$,
 - (9) $-C_{1-4}$ alkyl- OR^a ,
 - (10) $-(CH_2)_{0-2}C(=O)R^a$,
 - 30 (11) $-(CH_2)_{0-2}CO_2R^a$,
 - (12) $-(CH_2)_{0-2}SR^a$,
 - (13) $-N(R^a)_2$,
 - (14) $-C_{1-4}$ alkyl $N(R^a)_2$,
 - (15) $-(CH_2)_{0-2}C(=O)N(R^a)_2$,

- 5 (16) $-C_{1-4} \text{ alkyl}-N(R^a)-C(R^a)=O$,
 (17) $-SO_2R^a$,
 (18) $-N(R^a)SO_2R^a$,
 (19) $-O-C_{1-4} \text{ alkyl}-OR^a$,
 (20) $-O-C_{1-4} \text{ alkyl}-SR^a$,
 (21) $-O-C_{1-4} \text{ alkyl}-NH-CO_2R^a$,
 (22) $-O-C_{2-4} \text{ alkyl}-N(R^a)_2$,
 (23) $-N(R^a)-C_{1-4} \text{ alkyl}-SR^a$,
 (24) $-N(R^a)-C_{1-4} \text{ alkyl}-OR^a$,
 10 (25) $-N(R^a)-C_{1-4} \text{ alkyl}-N(R^a)_2$,
 (26) $-N(R^a)-C_{1-4} \text{ alkyl}-N(R^a)-C(R^a)=O$,
 (27) $-R^k$,
 (28) $-C_{1-4} \text{ alkyl}$ substituted with 1 or 2 R^k groups,
 (29) $-C_{1-4} \text{ fluoroalkyl}$ substituted with 1 or 2 R^k groups,
 15 (30) $-O-R^k$,
 (31) $-O-C_{1-4} \text{ alkyl}-R^k$,
 (32) $-S(O)_n-R^k$,
 (33) $-S(O)_n-C_{1-4} \text{ alkyl}-R^k$,
 (34) $-O-C_{1-4} \text{ alkyl}-OR^k$,
 20 (35) $-O-C_{1-4} \text{ alkyl}-O-C_{1-4} \text{ alkyl}-R^k$,
 (36) $-O-C_{1-4} \text{ alkyl}-S(O)_nR^k$, or
 (37) $-C_{0-4} \text{ alkyl}-N(R^b)(R^k)$;

each of R^3 and R^4 is independently

- 25 (1) $-H$,
 (2) halo,
 (3) $-CN$,
 (4) $-OH$,
 (5) $C_{1-4} \text{ alkyl}$,
 30 (6) $C_{1-4} \text{ fluoroalkyl}$,
 (7) $-O-C_{1-4} \text{ alkyl}$,
 (8) $-O-C_{1-4} \text{ fluoroalkyl}$,
 (9) $-C_{1-4} \text{ alkyl}-OR^a$,
 (10) $-O-C_{1-4} \text{ alkyl}-OR^a$,

- (11) $-O-C_{1-4}$ alkyl- SR^a ,
- (12) $-O-C_{1-4}$ alkyl-NH-CO₂ R^a , or
- (13) $-O-C_{2-4}$ alkyl-N(R^a)₂;

5 R^5 is

- (1) -H,
- (2) $-C_{1-4}$ alkyl, optionally substituted with 1 or 2 substituents independently selected from halogen, $-O-C_{1-4}$ alkyl, $-O-C_{1-4}$ fluoroalkyl, $-N(R^a)_2$, and $-CO_2R^a$;
- 10 (3) phenyl optionally substituted with from 1 to 3 substituents independently selected from halogen, C_{1-4} alkyl, C_{1-4} fluoroalkyl, $-O-C_{1-4}$ alkyl, $-O-C_{1-4}$ fluoroalkyl, $-S-C_{1-4}$ alkyl, $-CN$, and $-OH$, or
- (4) $-C_{1-4}$ alkyl substituted with phenyl;

15

each R^a is independently -H or $-C_{1-4}$ alkyl;

each R^b is independently:

- (1) -H,
- 20 (2) $-C_{1-4}$ alkyl,
- (3) $-C_{1-4}$ fluoroalkyl,
- (4) $-R^k$,
- (5) $-C_{1-4}$ alkyl- R^k ,
- (6) $-S(O)_n-R^k$, or
- 25 (7) $-C(=O)-R^k$;

each R^c is independently

- (1) -H,
- (2) $-C_{1-4}$ alkyl,
- 30 (3) $-C_{1-4}$ alkyl substituted with $-N(R^a)_2$, or
- (4) $-C_{1-4}$ alkyl-phenyl, wherein the phenyl is optionally substituted with 1 to 3 substituents independently selected from halogen, C_{1-4} alkyl, C_{1-4} fluoroalkyl, $-O-C_{1-4}$ alkyl, $-O-C_{1-4}$ fluoroalkyl, $-S-C_{1-4}$ alkyl, $-CN$, and $-OH$;

each R^k is independently:

(1) aryl selected from phenyl and naphthyl, wherein aryl is unsubstituted or substituted with from 1 to 5 substituents independently selected from:

- | | |
|----|--|
| 5 | (a) halogen, |
| | (b) C_{1-6} alkyl, |
| | (c) C_{1-6} fluoroalkyl, |
| | (d) $-O-C_{1-6}$ alkyl, |
| | (e) $-O-C_{1-6}$ fluoroalkyl, |
| 10 | (f) phenyl, |
| | (g) $-S-C_{1-6}$ alkyl, |
| | (h) $-CN$, |
| | (i) $-OH$, |
| | (j) phenyloxy, unsubstituted or substituted with from 1 to 3 substituents independently selected from: |
| 15 | (i) halogen, |
| | (ii) C_{1-6} alkyl, |
| | (iii) C_{1-6} fluoroalkyl, and |
| | (iv) $-OH$, |
| 20 | (k) $-N(R^a)_2$, |
| | (l) $-C_{1-6}$ alkyl- $N(R^a)_2$, |
| | (m) $-R^t$, |
| | (p) $-(CH_2)_{0-3}C(=O)N(R^a)_2$, and |
| | (q) $-(CH_2)_{0-3}C(=O)R^a$; |

25 (2) $-C_{3-7}$ cycloalkyl, unsubstituted or substituted with from 1 to 3 substituents independently selected from:

- | | |
|----|-------------------------------|
| 30 | (a) halogen, |
| | (b) C_{1-6} alkyl, |
| | (c) $-O-C_{1-6}$ alkyl, |
| | (d) C_{1-6} fluoroalkyl, |
| | (e) $-O-C_{1-6}$ fluoroalkyl, |
| | (f) $-CN$, |
| | (h) phenyl, and |
| | (j) $-OH$; |

- 5
- (3) -C₃₋₇ cycloalkyl fused with a phenyl ring, unsubstituted or substituted with from 1 to 5 substituents independently selected from:
- (a) halogen,
 - (b) C₁₋₆ alkyl,
 - (c) -O-C₁₋₆ alkyl,
 - (d) C₁₋₆ fluoroalkyl,
 - (e) -O-C₁₋₆ fluoroalkyl,
 - (f) -CN, and
 - (g) -OH;
- 10
- (4) a 5- or 6- membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from oxygen, nitrogen and sulfur, wherein the heteroaromatic ring is unsubstituted or substituted on nitrogen or carbon with from 1 to 5 substituents independently selected from:
- (a) halogen,
 - (b) C₁₋₆ alkyl,
 - (c) C₁₋₆ fluoroalkyl,
 - (d) -O-C₁₋₆ alkyl,
 - (e) -O-C₁₋₆ fluoroalkyl,
 - (f) phenyl,
 - (g) -S-C₁₋₆ alkyl,
 - (h) -CN,
 - (i) -OH,
 - (j) phenyloxy, unsubstituted or substituted with from 1 to 3 substituents independently selected from:
 - (i) halogen,
 - (ii) C₁₋₆ alkyl,
 - (iii) C₁₋₆ fluoroalkyl, and
 - (iv) -OH,
 - (k) -N(R^a)₂,
 - (l) -C₁₋₆ alkyl-N(R^a)₂,
 - (m) -R^t,
 - (n) oxo,
 - (o) -(CH₂)₀₋₃C(=O)N(R^a)₂, and
 - (p) -(CH₂)₀₋₃C(=O)R^a;
- 15
- 20
- 25
- 30

(5) a 5- or 6- or 7- membered saturated heterocyclic ring containing from 1 to 3 heteroatoms independently selected from oxygen, nitrogen and sulfur, wherein the heterocyclic ring is unsubstituted or substituted with from 1 to 4 substituents independently selected from:

- | | |
|----|---|
| 5 | (a) halogen, |
| | (b) C ₁₋₆ alkyl, |
| | (c) -O-C ₁₋₆ alkyl, |
| | (d) C ₁₋₆ fluoroalkyl, |
| | (e) -O-C ₁₋₆ fluoroalkyl, |
| 10 | (f) -CN, |
| | (g) oxo, |
| | (h) phenyl |
| | (i) benzyl, |
| | (j) phenylethyl, |
| 15 | (k) -OH, |
| | (l) -(CH ₂) ₀₋₃ C(=O)N(R ^a) ₂ , |
| | (m) -(CH ₂) ₀₋₃ C(=O)R ^a , |
| | (n) -N(R ^a)-C(=O)R ^a , |
| | (o) -N(R ^a)-CO ₂ R ^a , |
| 20 | (p) -(CH ₂) ₁₋₃ N(R ^a)-C(=O)R ^a , |
| | (q) -N(R ^a) ₂ , |
| | (r) -(CH ₂) ₁₋₃ N(R ^a) ₂ , |
| | (s) -(CH ₂) ₁₋₃ -OR ^a , |
| | (t) -(CH ₂) ₀₋₃ CO ₂ R ^a , |
| 25 | (u) -(CH ₂) ₀₋₃ -O-(CH ₂) ₁₋₃ -OR ^a , |
| | (v) -SO ₂ R ^a , |
| | (w) -SO ₂ N(R ^a) ₂ , |
| | (x) -(CH ₂) ₀₋₃ C(=O)O(CH ₂) ₁₋₂ CH=CH ₂ , |
| | (y) -R ^t , |
| 30 | (z) -(CH ₂) ₀₋₃ C(=O)R ^t , |
| | (aa) -N(R ^a)R ^t , and |
| | (bb) -(CH ₂) ₁₋₃ R ^t ; or |

(6) an 8- to 10- membered heterobicyclic ring containing from 1 to 4 heteroatoms independently selected from oxygen, nitrogen and sulfur, wherein the

heterobicyclic ring is saturated or unsaturated, and is unsubstituted or substituted with from 1 to 5 substituents independently selected from:

- 5 (a) halogen,
 (b) C₁₋₆ alkyl,
 (c) -O-C₁₋₆ alkyl,
 (d) C₁₋₆ fluoroalkyl,
 (e) -O-C₁₋₆ fluoroalkyl,
 (f) -CN,
 (g) =O, and
 10 (h) -OH;

R^t is naphthyl or a 5- or 6-membered heteromonocyclic ring containing from 1 to 4 nitrogen atoms, wherein the heteromonocyclic ring is saturated or unsaturated, and wherein the naphthyl or the heteromonocyclic ring is unsubstituted or substituted with
 15 from 1 to 4 substituents independently selected from halogen, oxo, C₁₋₄ alkyl, and -O-C₁₋₄ alkyl; and

n is an integer equal to 0, 1 or 2;

20 or a pharmaceutically acceptable salt thereof.

5. The compound according to claim 4, wherein

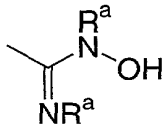
Z¹ is CH;

25

Q² is

- 30 (1) -H,
 (2) -C₁₋₄ alkyl,
 (3) -(CH₂)₀₋₂CF₃,
 (4) -O-C₁₋₄ alkyl,
 (5) -O-(CH₂)₀₋₂CF₃,
 (6) halo selected from -F, -Cl and -Br,
 (7) -CN,
 (8) -(CH₂)₁₋₃OR^a,

- (9) $-(\text{CH}_2)_0-2\text{C}(=\text{O})\text{R}^a$,
 (10) $-(\text{CH}_2)_0-2\text{CO}_2\text{R}^a$,
 (11) $-(\text{CH}_2)_0-2\text{SR}^a$,
 (12) $-\text{N}(\text{R}^a)_2$,
 5 (13) $-(\text{CH}_2)_1-3\text{N}(\text{R}^a)_2$,
 (14) $-(\text{CH}_2)_0-2\text{C}(=\text{O})\text{N}(\text{R}^a)_2$,
 (15) $-\text{G}-(\text{CH}_2)_1-2-\text{C}(=\text{O})\text{N}(\text{R}^a)_2$, wherein G is O, S, N(R^a), or N(SO₂R^a),
 (16) $-\text{N}(\text{R}^a)-\text{C}(\text{R}^a)=\text{O}$,
 (17) $-(\text{CH}_2)_1-2-\text{N}(\text{R}^a)-\text{C}(\text{R}^a)=\text{O}$,
 10 (18) $-\text{C}(=\text{O})-\text{N}(\text{R}^a)-(\text{CH}_2)_1-3-[\text{C}(=\text{O})]_0-1-\text{N}(\text{R}^a)_2$,
 (19) $-\text{C}(=\text{O})-\text{N}(\text{R}^a)-(\text{CH}_2)_1-2\text{H}$ substituted with 1 or 2 -OR^a,
 (20) $-\text{SO}_2\text{R}^a$,
 (21) $-\text{N}(\text{R}^a)\text{SO}_2\text{R}^a$,
 (22) $-\text{CH}=\text{CH}-(\text{CH}_2)_0-1-\text{C}(=\text{O})-\text{N}(\text{R}^a)_2$,
 15 (23) $-\text{C}\equiv\text{C}-\text{CH}_2\text{OR}^a$,
 (24) $-\text{C}\equiv\text{C}-\text{CH}_2\text{SR}^a$,
 (25) $-\text{C}\equiv\text{C}-\text{CH}_2\text{SO}_2\text{R}^a$,

 (26) ,
 (27) $-\text{N}(\text{R}^a)-(\text{CH}_2)_1-4\text{SR}^a$,
 20 (28) $-\text{N}(\text{R}^a)-(\text{CH}_2)_1-4\text{OR}^a$,
 (29) $-\text{N}(\text{R}^a)-(\text{CH}_2)_1-4-\text{N}(\text{R}^a)_2$,
 (30) $-\text{N}(\text{R}^a)-(\text{CH}_2)_1-4-\text{N}(\text{R}^a)-\text{C}(\text{R}^a)=\text{O}$,
 (31) $-\text{N}(\text{R}^a)-(\text{CH}_2)_0-2-[\text{C}(=\text{O})]_1-2-\text{N}(\text{R}^a)_2$,
 (32) $-\text{N}(\text{R}^a)-(\text{CH}_2)_1-4-\text{CO}_2\text{R}^a$,
 25 (33) $-\text{N}(\text{R}^a)\text{C}(=\text{O})\text{N}(\text{R}^a)-(\text{CH}_2)_1-4-\text{C}(=\text{O})\text{N}(\text{R}^a)_2$,
 (34) $-\text{N}(\text{R}^a)\text{C}(=\text{O})-(\text{CH}_2)_1-4-\text{N}(\text{R}^a)_2$,
 (35) $-\text{N}(\text{R}^a)-\text{SO}_2-\text{N}(\text{R}^a)_2$,
 (36) $-\text{R}^k$,
 (37) $-(\text{CH}_2)_1-4\text{R}^k$,
 30 (38) $-\text{C}\equiv\text{C}-\text{CH}_2\text{R}^k$,
 (39) $-\text{O}-\text{R}^k$,
 (40) $-\text{S}(\text{O})_n-\text{R}^k$,

- (41) $-N(R^c)-R^k$,
 (42) $-N(R^c)-(CH_2)_{1-4}H$ substituted with one or two R^k groups,
 (43) $-N(R^c)-(CH_2)_{1-4}OR^k$,
 (44) $-C(=O)-R^k$,
 5 (45) $-C(=O)N(R^a)-R^k$,
 (46) $-N(R^a)C(=O)-R^k$, or
 (47) $-C(=O)N(R^a)-(CH_2)_{1-4}R^k$; and
 (48) $-N(R^a)-S(O)_nR^k$;

10 Q^4 is $-H$;

each of R^1 and R^2 is independently:

- (1) $-H$,
 (2) $-C_{1-4}$ alkyl,
 15 (3) $-(CH_2)_{0-2}CF_3$,
 (4) $-O-C_{1-4}$ alkyl,
 (5) $-O-(CH_2)_{0-2}CF_3$,
 (6) $-OH$,
 (7) halo selected from $-F$, $-Cl$ and $-Br$,
 20 (8) $-CN$,
 (9) $-(CH_2)_{1-3}OR^a$,
 (10) $-(CH_2)_{0-2}C(=O)R^a$,
 (11) $-(CH_2)_{0-2}CO_2R^a$,
 (12) $-(CH_2)_{0-2}SR^a$,
 25 (13) $-N(R^a)_2$,
 (14) $-(CH_2)_{1-3}N(R^a)_2$,
 (15) $-(CH_2)_{0-2}C(=O)N(R^a)_2$,
 (16) $-C_{1-4}$ alkyl- $N(R^a)-C(R^a)=O$,
 (17) $-SO_2R^a$,
 30 (18) $-N(R^a)SO_2R^a$,
 (19) $-O-(CH_2)_{1-4}OR^a$,
 (20) $-O-(CH_2)_{1-4}SR^a$,
 (21) $-O-(CH_2)_{1-4}NH-CO_2R^a$,
 (22) $-O-(CH_2)_{2-4}N(R^a)_2$,

- (23) $-\text{N}(\text{R}^a)-(\text{CH}_2)_{1-4}\text{SR}^a$,
 (24) $-\text{N}(\text{R}^a)-(\text{CH}_2)_{1-4}\text{OR}^a$,
 (25) $-\text{N}(\text{R}^a)-(\text{CH}_2)_{1-4}\text{N}(\text{R}^a)_2$,
 (26) $-\text{N}(\text{R}^a)-(\text{CH}_2)_{1-4}\text{N}(\text{R}^a)-\text{C}(\text{R}^a)=\text{O}$,
 5 (27) $-\text{R}^k$,
 (28) $-(\text{CH}_2)_{1-4}\text{H}$ substituted with 1 or 2 R^k groups,
 (29) $-\text{O}-\text{R}^k$,
 (30) $-\text{O}-(\text{CH}_2)_{1-4}\text{R}^k$,
 (31) $-\text{S}(\text{O})_n-\text{R}^k$,
 10 (32) $-\text{S}(\text{O})_n-(\text{CH}_2)_{1-4}\text{R}^k$,
 (33) $-\text{O}-(\text{CH}_2)_{1-4}\text{OR}^k$,
 (34) $-\text{O}-(\text{CH}_2)_{1-4}-\text{O}-(\text{CH}_2)_{1-4}\text{R}^k$,
 (35) $-\text{O}-(\text{CH}_2)_{1-4}\text{SR}^k$, or
 (36) $-(\text{CH}_2)_{0-4}\text{N}(\text{R}^b)(\text{R}^k)$;

15

each of R^3 and R^4 is independently

- (1) $-\text{H}$,
 (2) halo selected from $-\text{F}$, $-\text{Cl}$ and $-\text{Br}$,
 (3) $-\text{CN}$,
 20 (4) $-\text{OH}$,
 (5) C_{1-4} alkyl,
 (6) $-(\text{CH}_2)_{0-2}\text{CF}_3$,
 (7) $-\text{O}-\text{C}_{1-4}$ alkyl, or
 (8) $-\text{O}(\text{CH}_2)_{0-2}\text{CF}_3$; and

25

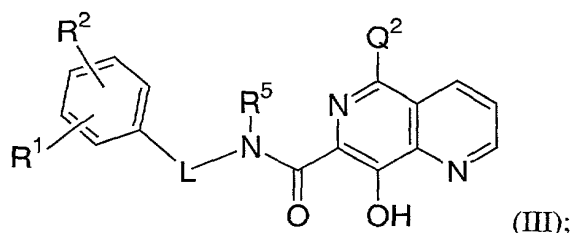
R^5 is

- (1) $-\text{H}$,
 (2) $-\text{C}_{1-4}$ alkyl,
 (3) $-(\text{CH}_2)_{1-4}\text{N}(\text{R}^a)_2$,
 30 (4) $-(\text{CH}_2)_{1-4}\text{CO}_2\text{R}^a$,
 (5) phenyl optionally substituted with from 1 to 3 substituents independently selected from halogen, C_{1-4} alkyl, $-(\text{CH}_2)_{0-2}\text{CF}_3$, $-\text{O}-\text{C}_{1-4}$ alkyl, $-\text{O}(\text{CH}_2)_{0-2}\text{CF}_3$, $-\text{S}-\text{C}_{1-4}$ alkyl, $-\text{CN}$, and $-\text{OH}$, or

(6) $-(CH_2)_1$ -4-phenyl;

or a pharmaceutically acceptable salt thereof.

- 5 6. The compound according to claim 5, which is a compound of Formula (III):

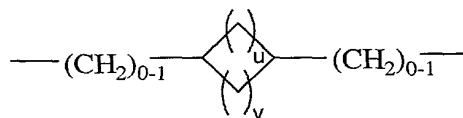


- 10 or a pharmaceutically acceptable salt thereof.

7. The compound according to claim 6, wherein

L is

- 15 (i) a single bond;
 (ii) $-(CH_2)_1$ -3-, which is optionally substituted with 1 or 2
 substituents independently selected from the group consisting of -F, -Cl, -Br, -OH,
 methyl, ethyl, $-CO_2CH_3$, $-CO_2CH_2$ -phenyl, phenyl, benzyl, $-(CH_2)_1$ -2OH,
 -CH(OH)-phenyl, and -CH(NH₂)-phenyl; or
 20 (iii)



, wherein u and v are
 each integers having a value of from 0 to 3, provided that the sum of u + v is 1, 2, 3 or
 4;

- 25 each of R¹ and R² is independently:

- (1) -H,
 (2) methyl,

- 5
- (3) ethyl,
 - (4) CF_3 ,
 - (5) methoxy,
 - (6) ethoxy
 - (7) $-\text{OCF}_3$
 - (8) halo selected from -F, -Cl and -Br,
 - (9) $-\text{CN}$,
 - (10) $-\text{CH}_2\text{OR}^a$,
 - (11) $-\text{CO}_2\text{R}^a$,
 - 10 (12) $-\text{SR}^a$,
 - (13) $-\text{N}(\text{R}^a)_2$,
 - (14) $-(\text{CH}_2)_{1-3}\text{N}(\text{R}^a)_2$,
 - (15) $-\text{SO}_2\text{R}^a$,
 - (16) $-(\text{CH}_2)_{1-2}\text{N}(\text{R}^a)-\text{C}(\text{R}^a)=\text{O}$,
 - 15 (17) $-\text{R}^k$,
 - (18) $-(\text{CH}_2)_{1-3}\text{H}$ substituted with 1 or 2 R^k groups,
 - (19) $-\text{O}-\text{R}^k$, or
 - (20) $-\text{O}-(\text{CH}_2)_{1-3}\text{R}^k$;

20 R^5 is

- (1) $-\text{H}$,
- (2) methyl,
- (3) $-(\text{CH}_2)_{1-2}\text{N}(\text{R}^a)_2$,
- (4) $-(\text{CH}_2)_{1-2}\text{CO}_2\text{CH}_3$, or
- 25 (5) $-(\text{CH}_2)_{1-2}\text{CO}_2\text{CH}_2\text{CH}_3$;
- (6) phenyl, or
- (7) benzyl;

each R^a is independently -H or $-\text{C}_{1-4}$ alkyl;

30

each R^c is independently

- (1) $-\text{H}$,
- (2) $-\text{C}_{1-4}$ alkyl,
- (3) $-(\text{CH}_2)_{1-4}\text{N}(\text{R}^a)_2$, or

- (4) $-(\text{CH}_2)_{1-4}$ -phenyl, wherein the phenyl is optionally substituted with 1 to 3 substituents independently selected from halogen, C_{1-4} alkyl, C_{1-4} fluoroalkyl, $-\text{O}-\text{C}_{1-4}$ alkyl, $-\text{O}-\text{C}_{1-4}$ fluoroalkyl, $-\text{S}-\text{C}_{1-4}$ alkyl, $-\text{CN}$, and $-\text{OH}$; and

5

each R^k is independently:

- (1) aryl selected from phenyl and naphthyl, wherein aryl is unsubstituted or substituted with from 1 to 4 substituents independently selected from:

- 10 (a) halogen,
 (b) C_{1-4} alkyl,
 (c) C_{1-4} fluoroalkyl,
 (d) $-\text{O}-\text{C}_{1-4}$ alkyl,
 (e) $-\text{O}-\text{C}_{1-4}$ fluoroalkyl,
 15 (f) phenyl,
 (g) $-\text{S}-\text{C}_{1-4}$ alkyl,
 (h) $-\text{CN}$,
 (i) $-\text{OH}$,
 (j) phenyloxy, unsubstituted or substituted with from 1 to 3 substituents independently selected from:
 20 (i) halogen,
 (ii) C_{1-4} alkyl,
 (iii) C_{1-4} fluoroalkyl, and
 (iv) $-\text{OH}$,
 (k) $-\text{N}(\text{R}^a)_2$,
 25 (l) $-\text{C}_{1-4}$ alkyl- $\text{N}(\text{R}^a)_2$,
 (m) $-\text{R}^t$,
 (p) $-(\text{CH}_2)_{0-3}\text{C}(=\text{O})\text{N}(\text{R}^a)_2$, and
 (q) $-(\text{CH}_2)_{0-3}\text{C}(=\text{O})\text{R}^a$;

- (2) $-\text{C}_3-6$ cycloalkyl, unsubstituted or substituted with from 1 to 3 substituents independently selected from:

- 30 (a) halogen,
 (b) C_{1-4} alkyl,
 (c) $-\text{O}-\text{C}_{1-4}$ alkyl,
 (d) C_{1-4} fluoroalkyl,

- 5 (e) -O-C₁₋₄ fluoroalkyl,
 (f) -CN,
 (h) phenyl, and
 (j) -OH;
- 5 (3) -C₃₋₆ cycloalkyl fused with a phenyl ring, unsubstituted or substituted with from 1 to 4 substituents independently selected from:
- 10 (a) halogen,
 (b) C₁₋₄ alkyl,
 (c) -O-C₁₋₄ alkyl,
 (d) C₁₋₄ fluoroalkyl,
 (e) -O-C₁₋₄ fluoroalkyl,
 (f) -CN, and
 (g) -OH;
- 15 (4) a 5- or 6- membered heteroaromatic ring selected from thienyl, pyridyl, imidazolyl, pyrrolyl, pyrazolyl, thiazolyl, isothiazolyl, oxazolyl, isooxazolyl, pyrazinyl, pyrimidinyl, triazolyl, tetrazolyl, furanyl, and pyridazinyl, wherein the heteroaromatic ring is unsubstituted or substituted on nitrogen or carbon with from 1 to 4 substituents independently selected from:
- 20 (a) halogen,
 (b) C₁₋₄ alkyl,
 (c) C₁₋₄ fluoroalkyl,
 (d) -O-C₁₋₄ alkyl,
 (e) -O-C₁₋₄ fluoroalkyl,
 (f) phenyl,
- 25 (g) -S-C₁₋₄ alkyl,
 (h) -CN,
 (i) -OH,
 (j) phenyloxy, unsubstituted or substituted with from 1 to 3 substituents independently selected from:
- 30 (i) halogen,
 (ii) C₁₋₄ alkyl,
 (iii) C₁₋₄ fluoroalkyl, and
 (iv) -OH,
 (k) -N(R^a)₂,

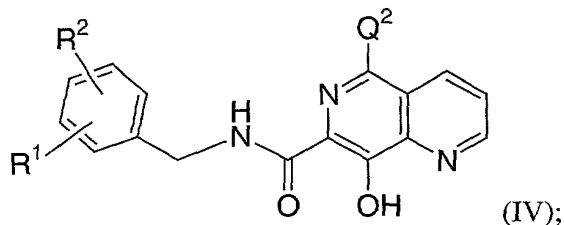
- 5
- (l) $-C_{1-4} \text{ alkyl}-N(R^a)_2$,
 - (m) $-R^t$,
 - (n) oxo,
 - (o) $-(CH_2)_{0-3}C(=O)N(R^a)_2$, and
 - (p) $-(CH_2)_{0-3}C(=O)R^a$;
- (5) a 5- or 6- or 7- membered saturated heterocyclic ring selected from piperidinyl, morpholinyl, thiomorpholinyl, thiazolidinyl, isothiazolidinyl, oxazolidinyl, isooxazolidinyl, pyrrolidinyl, imidazolidinyl, piperazinyl, tetrahydrofuranyl, pyrazolidinyl, hexahydropyrimidinyl, thiazinanyl, thiazepanyl, azepanyl, thiadiazepanyl, dithiazepanyl, diazepanyl, and thiadiazinanyl, and wherein the heterocyclic ring is unsubstituted or substituted with from 1 to 4 substituents independently selected from:
- 15
- (a) halogen,
 - (b) C_{1-6} alkyl,
 - (c) $-O-C_{1-6}$ alkyl,
 - (d) C_{1-6} fluoroalkyl,
 - (e) $-O-C_{1-6}$ fluoroalkyl,
 - (f) $-CN$,
 - (g) oxo,
 - (h) phenyl
 - (i) benzyl,
 - (j) phenylethyl,
 - (k) $-OH$,
 - (l) $-(CH_2)_{0-3}C(=O)N(R^a)_2$,
 - (m) $-(CH_2)_{0-3}C(=O)R^a$,
 - (n) $-N(R^a)-C(=O)R^a$,
 - (o) $-N(R^a)-CO_2R^a$,
 - (p) $-(CH_2)_{1-3}N(R^a)-C(=O)R^a$,
 - (q) $-N(R^a)_2$,
 - (r) $-(CH_2)_{1-3}N(R^a)_2$,
 - (s) $-(CH_2)_{1-3}-OR^a$,
 - (t) $-(CH_2)_{0-3}CO_2R^a$,
 - (u) $-(CH_2)_{0-3}-O-(CH_2)_{1-3}-OR^a$,
 - (v) $-SO_2R^a$,
- 20
- 25
- 30

- (w) $-\text{SO}_2\text{N}(\text{R}^a)_2$,
 (x) $-(\text{CH}_2)_0-3\text{C}(=\text{O})\text{O}(\text{CH}_2)_1-2\text{CH}=\text{CH}_2$,
 (y) $-\text{R}^t$,
 (z) $-(\text{CH}_2)_0-3\text{C}(=\text{O})\text{R}^t$,
 5 (aa) $-\text{N}(\text{R}^a)\text{R}^t$, and
 (bb) $-(\text{CH}_2)_1-3\text{R}^t$; or
 (6) an 8- to 10- membered heterobicyclic ring selected from
 indolyl, benzotriazolyl, benzoimidazolyl, imidazo[4,5-b]pyridinyl,
 dihydroimidazo[4,5-b]pyridinyl, pyrazolo[4,3-c]pyridinyl, dihydropyrazolo[4,3-
 10 c]pyridinyl, tetrahydropyrazolo[4,3-c]pyridinyl, pyrrolo[1,2-a]pyrazinyl,
 dihydropyrrolo[1,2-a]pyrazinyl, tetrahydropyrrolo[1,2-a]pyrazinyl,
 octahydropyrrolo[1,2-a]pyrazinyl, isoindolyl, indazolyl, indolinyl, isoindolinyl,
 quinolinyl, isoquinolinyl, quinoxalinyl, quinazolinyl, cinnolinyl, chromanyl,
 isochromanyl, hexahydropyrazolo[4,3-c]pyridinyl, hexahydropurinyl,
 15 hexahydrooxazolo[3,4-a]pyrazinyl, and 1,2,3,4-tetrahydro-1,8-naphthyridinyl; and
 wherein the bicyclic ring is unsubstituted or substituted with from 1 to 3 substituents
 independently selected from:
 (a) halogen,
 (b) C_{1-4} alkyl,
 20 (c) $-\text{O}-\text{C}_{1-4}$ alkyl,
 (d) C_{1-4} fluoroalkyl,
 (e) $-\text{O}-\text{C}_{1-4}$ fluoroalkyl,
 (f) $-\text{CN}$,
 (g) $=\text{O}$, and
 25 (h) $-\text{OH}$;

R^t is naphthyl or a 5- or 6-membered heteromonocyclic ring selected from
 pyrrolidinyl, pyrazolidinyl, imidazolyl, piperidinyl, piperazinyl, pyrrolyl, pyridyl,
 imidazolyl, pyrazolyl, triazolyl, tetrazolyl, pyrazinyl, pyrimidinyl, and pyradizyl;
 30 and wherein the naphthyl or the heteromonocyclic ring is unsubstituted or substituted
 with 1 or 2 substituents independently selected from halogen, oxo, C_{1-4} alkyl, and
 $-\text{O}-\text{C}_{1-4}$ alkyl;

or a pharmaceutically acceptable salt thereof.

8. A compound of Formula (IV):

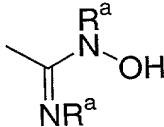


wherein

5

Q^2 is

- | | | |
|----|------|--|
| | (1) | -H, |
| | (2) | -C ₁₋₄ alkyl, |
| | (3) | -(CH ₂) ₀₋₂ CF ₃ , |
| 10 | (4) | -O-C ₁₋₄ alkyl, |
| | (5) | -O-(CH ₂) ₀₋₂ CF ₃ , |
| | (6) | halo selected from -F, -Cl and -Br, |
| | (7) | -CN, |
| | (8) | -(CH ₂) ₁₋₃ OR ^a , |
| 15 | (9) | -(CH ₂) ₀₋₂ C(=O)R ^a , |
| | (10) | -(CH ₂) ₀₋₂ CO ₂ R ^a , |
| | (11) | -(CH ₂) ₀₋₂ SR ^a , |
| | (12) | -N(R ^a) ₂ , |
| | (13) | -(CH ₂) ₁₋₃ N(R ^a) ₂ , |
| 20 | (14) | -(CH ₂) ₀₋₂ C(=O)N(R ^a) ₂ , |
| | (15) | -G-(CH ₂) ₁₋₂ -C(=O)N(R ^a) ₂ , wherein G is O, S, N(R ^a), or N(SO ₂ R ^a), |
| | (16) | -N(R ^a)-C(R ^a)=O, |
| | (17) | -(CH ₂) ₁₋₂ -N(R ^a)-C(R ^a)=O, |
| | (18) | -C(=O)-N(R ^a)-(CH ₂) ₁₋₃ -[C(=O)] ₀₋₁ -N(R ^a) ₂ , |
| 25 | (19) | -C(=O)-N(R ^a)-(CH ₂) ₁₋₂ H substituted with 1 or 2 -OR ^a , |
| | (20) | -SO ₂ R ^a , |
| | (21) | -N(R ^a)SO ₂ R ^a , |
| | (22) | -CH=CH-(CH ₂) ₀₋₁ -C(=O)-N(R ^a) ₂ , |
| | (23) | -C≡C-CH ₂ OR ^a , |

- (24) $-\text{C}\equiv\text{C}-\text{CH}_2\text{SR}^a$,
 (25) $-\text{C}\equiv\text{C}-\text{CH}_2\text{SO}_2\text{R}^a$,
 (26) ,
 (27) $-\text{N}(\text{R}^a)-(\text{CH}_2)_{1-4}\text{SR}^a$,
 (28) $-\text{N}(\text{R}^a)-(\text{CH}_2)_{1-4}\text{OR}^a$,
 (29) $-\text{N}(\text{R}^a)-(\text{CH}_2)_{1-4}-\text{N}(\text{R}^a)_2$,
 (30) $-\text{N}(\text{R}^a)-(\text{CH}_2)_{1-4}-\text{N}(\text{R}^a)-\text{C}(\text{R}^a)=\text{O}$,
 (31) $-\text{N}(\text{R}^a)-(\text{CH}_2)_{0-2}-[\text{C}(=\text{O})]_{1-2}-\text{N}(\text{R}^a)_2$,
 (32) $-\text{N}(\text{R}^a)-(\text{CH}_2)_{1-4}-\text{CO}_2\text{R}^a$,
 (33) $-\text{N}(\text{R}^a)\text{C}(=\text{O})\text{N}(\text{R}^a)-(\text{CH}_2)_{1-4}-\text{C}(=\text{O})\text{N}(\text{R}^a)_2$,
 (34) $-\text{N}(\text{R}^a)\text{C}(=\text{O})-(\text{CH}_2)_{1-4}-\text{N}(\text{R}^a)_2$,
 (35) $-\text{N}(\text{R}^a)-\text{SO}_2-\text{N}(\text{R}^a)_2$,
 (36) $-\text{R}^k$,
 (37) $-(\text{CH}_2)_{1-4}\text{R}^k$,
 (38) $-\text{C}\equiv\text{C}-\text{CH}_2\text{R}^k$,
 (39) $-\text{O}-\text{R}^k$,
 (40) $-\text{S}(\text{O})_n-\text{R}^k$,
 (41) $-\text{N}(\text{R}^c)-\text{R}^k$,
 (42) $-\text{N}(\text{R}^c)-(\text{CH}_2)_{1-4}\text{H}$ substituted with one or two R^k groups,
 (43) $-\text{N}(\text{R}^c)-(\text{CH}_2)_{1-4}\text{OR}^k$,
 (44) $-\text{C}(=\text{O})-\text{R}^k$,
 (45) $-\text{C}(=\text{O})\text{N}(\text{R}^a)-\text{R}^k$,
 (46) $-\text{N}(\text{R}^a)\text{C}(=\text{O})-\text{R}^k$, or
 (47) $-\text{C}(=\text{O})\text{N}(\text{R}^a)-(\text{CH}_2)_{1-4}\text{R}^k$; and
 (48) $-\text{N}(\text{R}^a)-\text{S}(\text{O})_n\text{R}^k$;

each of R^1 and R^2 is independently:

- (1) $-\text{H}$,
 (2) methyl,
 (3) ethyl,
 (4) CF_3 ,
 (5) methoxy,

- 5 (6) ethoxy
 (7) $-\text{OCF}_3$
 (8) halo selected from -F, -Cl and -Br,
 (9) -CN,
 (10) $-\text{CH}_2\text{OR}^a$,
 (11) $-\text{CO}_2\text{R}^a$,
 (12) $-\text{SR}^a$,
 (13) $-\text{N}(\text{R}^a)_2$,
 (14) $-(\text{CH}_2)_{1-3}\text{N}(\text{R}^a)_2$,
 10 (15) $-\text{SO}_2\text{R}^a$,
 (16) $-(\text{CH}_2)_{1-2}\text{N}(\text{R}^a)-\text{C}(\text{R}^a)=\text{O}$,
 (17) $-\text{R}^k$,
 (18) $-(\text{CH}_2)_{1-3}\text{H}$ substituted with 1 or 2 R^k groups,
 (19) $-\text{O}-\text{R}^k$, or
 15 (20) $-\text{O}-(\text{CH}_2)_{1-3}\text{R}^k$;

each R^a is independently -H or $-\text{C}_{1-4}$ alkyl;

each R^c is independently

- 20 (1) -H,
 (2) $-\text{C}_{1-4}$ alkyl,
 (3) $-(\text{CH}_2)_{1-4}\text{N}(\text{R}^a)_2$, or
 (4) $-(\text{CH}_2)_{1-4}$ -phenyl, wherein the phenyl is optionally substituted
 with 1 to 3 substituents independently selected from halogen,
 25 C_{1-4} alkyl, C_{1-4} fluoroalkyl, $-\text{O}-\text{C}_{1-4}$ alkyl, $-\text{O}-\text{C}_{1-4}$
 fluoroalkyl, $-\text{S}-\text{C}_{1-4}$ alkyl, -CN, and -OH; and

each R^k is independently:

- (1) aryl selected from phenyl and naphthyl, wherein aryl is
 30 unsubstituted or substituted with from 1 to 4 substituents independently selected from:
 (a) halogen,
 (b) C_{1-4} alkyl,
 (c) C_{1-4} fluoroalkyl,
 (d) $-\text{O}-\text{C}_{1-4}$ alkyl,

- 5 (e) -O-C₁₋₄ fluoroalkyl,
 (f) phenyl,
 (g) -S-C₁₋₄ alkyl,
 (h) -CN,
 (i) -OH,
 (j) phenyloxy, unsubstituted or substituted with from 1 to 3 substituents independently selected from:
 (i) halogen,
 (ii) C₁₋₄ alkyl,
 (iii) C₁₋₄ fluoroalkyl, and
 (iv) -OH,
- 10 (k) -N(R^a)₂,
 (l) -C₁₋₄ alkyl-N(R^a)₂,
 (m) -R^t,
 (p) -(CH₂)₀₋₃C(=O)N(R^a)₂, and
 (q) -(CH₂)₀₋₃C(=O)R^a;
- 15 (2) -C₃₋₆ cycloalkyl, unsubstituted or substituted with from 1 to 3 substituents independently selected from:
 (a) halogen,
 (b) C₁₋₄ alkyl,
 (c) -O-C₁₋₄ alkyl,
 (d) C₁₋₄ fluoroalkyl,
 (e) -O-C₁₋₄ fluoroalkyl,
 (f) -CN,
 (h) phenyl, and
 (j) -OH;
- 20 (3) -C₃₋₆ cycloalkyl fused with a phenyl ring, unsubstituted or substituted with from 1 to 4 substituents independently selected from:
 (a) halogen,
 (b) C₁₋₄ alkyl,
 (c) -O-C₁₋₄ alkyl,
 (d) C₁₋₄ fluoroalkyl,
 (e) -O-C₁₋₄ fluoroalkyl,
 (f) -CN, and
- 25
 30

(g) -OH;

(4) a 5- or 6- membered heteroaromatic ring selected from thienyl, pyridyl, imidazolyl, pyrrolyl, pyrazolyl, thiazolyl, isothiazolyl, oxazolyl, isooxazolyl, pyrazinyl, pyrimidinyl, triazolyl, tetrazolyl, furanyl, and pyridazinyl, wherein the
5 heteroaromatic ring is unsubstituted or substituted on nitrogen or carbon with from 1 to 4 substituents independently selected from:

- (a) halogen,
- (b) C₁₋₄ alkyl,
- (c) C₁₋₄ fluoroalkyl,
- 10 (d) -O-C₁₋₄ alkyl,
- (e) -O-C₁₋₄ fluoroalkyl,
- (f) phenyl,
- (g) -S-C₁₋₄ alkyl,
- (h) -CN,
- 15 (i) -OH,
- (j) phenyloxy, unsubstituted or substituted with from 1 to 3 substituents independently selected from:
 - (i) halogen,
 - (ii) C₁₋₄ alkyl,
 - 20 (iii) C₁₋₄ fluoroalkyl, and
 - (iv) -OH,
 - (k) -N(R^a)₂,
 - (l) -C₁₋₄ alkyl-N(R^a)₂,
 - (m) -R^t,
 - 25 (n) oxo,
 - (o) -(CH₂)₀₋₃C(=O)N(R^a)₂, and
 - (p) -(CH₂)₀₋₃C(=O)R^a;

(5) a 5- or 6- or 7- membered saturated heterocyclic ring selected from piperidinyl, morpholinyl, thiomorpholinyl, thiazolidinyl, isothiazolidinyl,
30 oxazolidinyl, isooxazolidinyl, pyrrolidinyl, imidazolidinyl, piperazinyl, tetrahydrofuranyl, pyrazolidinyl, hexahydropyrimidinyl, thiazinanyl, thiazepanyl, azepanyl, thiadiazepanyl, dithiazepanyl, diazepanyl, and thiadiazinanyl, and wherein the heterocyclic ring is unsubstituted or substituted with from 1 to 4 substituents independently selected from:

- 5 (a) halogen,
(b) C₁₋₆ alkyl,
(c) -O-C₁₋₆ alkyl,
(d) C₁₋₆ fluoroalkyl,
(e) -O-C₁₋₆ fluoroalkyl,
(f) -CN,
(g) oxo,
(h) phenyl
10 (i) benzyl,
(j) phenylethyl,
(k) -OH,
(l) -(CH₂)₀₋₃C(=O)N(R^a)₂,
(m) -(CH₂)₀₋₃C(=O)R^a,
15 (n) -N(R^a)-C(=O)R^a,
(o) -N(R^a)-CO₂R^a,
(p) -(CH₂)₁₋₃N(R^a)-C(=O)R^a,
(q) -N(R^a)₂,
(r) -(CH₂)₁₋₃N(R^a)₂,
20 (s) -(CH₂)₁₋₃-OR^a,
(t) -(CH₂)₀₋₃CO₂R^a,
(u) -(CH₂)₀₋₃-O-(CH₂)₁₋₃-OR^a,
(v) -SO₂R^a,
(w) -SO₂N(R^a)₂,
(x) -(CH₂)₀₋₃C(=O)O(CH₂)₁₋₂CH=CH₂,
25 (y) -R^t,
(z) -(CH₂)₀₋₃C(=O)R^t,
(aa) -N(R^a)R^t, and
(bb) -(CH₂)₁₋₃R^t; or
30 (6) an 8- to 10- membered heterobicyclic ring selected from
indolyl, benzotriazolyl, benzoimidazolyl, imidazo[4,5-b]pyridinyl,
dihydroimidazo[4,5-b]pyridinyl, pyrazolo[4,3-c]pyridinyl, dihydropyrazolo[4,3-
c]pyridinyl, tetrahydropyrazolo[4,3-c]pyridinyl, pyrrolo[1,2-a]pyrazinyl,
dihydropyrrolo[1,2-a]pyrazinyl, tetrahydropyrrolo[1,2-a]pyrazinyl,
octahydropyrrolo[1,2-a]pyrazinyl, isoindolyl, indazolyl, indolinyl, isoindolinyl,

quinolinyl, isoquinolinyl, quinoxalinyl, quinazolinyl, cinnolinyl, chromanyl, isochromanyl, hexahydropyrazolo[4,3-c]pyridinyl, hexahydropurinyl, hexahydrooxazolo[3,4a]pyrazinyl, and 1,2,3,4-tetrahydro-1,8-naphthyridinyl; and wherein the bicyclic ring is unsubstituted or substituted with from 1 to 3 substituents independently selected from:

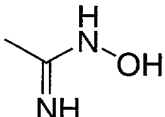
- (a) halogen,
 - (b) C₁₋₄ alkyl,
 - (c) -O-C₁₋₄ alkyl,
 - (d) C₁₋₄ fluoroalkyl,
 - (e) -O-C₁₋₄ fluoroalkyl,
 - (f) -CN,
 - (g) =O, and
 - (h) -OH; and
- 15 R^t is naphthyl or a 5- or 6-membered heteromonocyclic ring selected from pyrrolidinyl, pyrazolidinyl, imidazolinyl, piperidinyl, piperazinyl, pyrrolyl, pyridyl, imidazolyl, pyrazolyl, triazolyl, tetrazolyl, pyrazinyl, pyrimidinyl, and pyradizinyl; and wherein the naphthyl or the heteromonocyclic ring is unsubstituted or substituted with 1 or 2 substituents independently selected from halogen, oxo, C₁₋₄ alkyl, and
- 20 -O-C₁₋₄ alkyl;

or a pharmaceutically acceptable salt thereof.

25 9. The compound according to claim 8, wherein

Q² is

- (1) -H,
 - (2) methyl,
 - (3) ethyl,
 - (4) CF₃,
 - (5) methoxy,
 - (6) ethoxy
 - (7) -OCF₃
 - (8) halo selected from -F, -Cl and -Br,
- 30

- (9) -CN,
 (10) -CH₂OH,
 (11) -CH₂OCH₃
 (12) -(CH₂)₀₋₂C(=O)CH₃,
 5 (13) -(CH₂)₀₋₂CO₂CH₃,
 (14) -SR^a,
 (15) -N(R^a)₂,
 (16) -(CH₂)₁₋₂N(R^a)₂,
 (17) -(CH₂)₀₋₂C(=O)N(R^a)₂,
 10 (18) -S-CH₂-C(=O)N(R^a)₂,
 (19) -O-CH₂-C(=O)N(R^a)₂,
 (20) -N(SO₂R^a)-CH₂-C(=O)N(R^a)₂,
 (21) -N(R^a)-C(R^a)=O,
 (22) -C(=O)-N(R^a)-(CH₂)₁₋₂-C(=O)N(R^a)₂,
 15 (23) -C(=O)-N(R^a)-(CH₂)₁₋₂OR^a,
 (24) -C(=O)-N(R^a)-(CH₂)₁₋₃-N(R^a)₂,
 (25) -SO₂R^a,
 (26) -N(R^a)SO₂R^a,
 (27) -CH=CH-C(=O)-N(R^a)₂,
 20 (28) —C≡C—CH₂OR^a,
 (29) —C≡C—CH₂SR^a,
 (30) —C≡C—CH₂SO₂R^a,
 (31) ,
 25 (32) -N(R^a)-(CH₂)₁₋₃SR^a,
 (33) -N(R^a)-(CH₂)₁₋₃OR^a,
 (34) -N(R^a)-(CH₂)₁₋₃N(R^a)₂,
 (35) -N(R^a)-(CH₂)₁₋₃N(R^a)-C(R^a)=O,
 (36) -N(R^a)CH₂-C(=O)N(R^a)₂,
 (37) -N(R^a)-C(=O)-C(=O)-N(R^a)₂,
 30 (38) -N(R^a)-C(=O)-N(R^a)₂,
 (39) -N(R^a)-(CH₂)₁₋₂-CO₂R^a,
 (40) -N(R^a)-C(=O)-N(R^a)-(CH₂)₁₋₂-C(=O)-N(R^a)₂,

- (41) $-\text{N}(\text{R}^a)-\text{C}(=\text{O})-(\text{CH}_2)_{1-2}-\text{C}(=\text{O})-\text{N}(\text{R}^a)_2$,
 (42) $-\text{N}(\text{R}^a)-\text{SO}_2-\text{N}(\text{R}^a)_2$,
 (43) $-\text{R}^k$,
 (44) $-(\text{CH}_2)_{1-4}\text{R}^k$,
 5 (45) $-\text{C}\equiv\text{C}-\text{CH}_2\text{R}^k$,
 (46) $-\text{O}-\text{R}^k$,
 (47) $-\text{S}-\text{R}^k$,
 (48) $-\text{SO}_2-\text{R}^k$,
 (49) $-\text{N}(\text{R}^c)-\text{R}^k$,
 10 (50) $-\text{N}(\text{R}^c)-(\text{CH}_2)_{1-4}\text{H}$ substituted with one or two R^k groups,
 (51) $-\text{N}(\text{R}^c)-(\text{CH}_2)_{1-4}\text{OR}^k$,
 (52) $-\text{C}(=\text{O})-\text{R}^k$,
 (53) $-\text{C}(=\text{O})\text{N}(\text{R}^a)-\text{R}^k$,
 (54) $-\text{N}(\text{R}^a)-\text{C}(=\text{O})-\text{R}^k$,
 15 (55) $-\text{C}(=\text{O})\text{N}(\text{R}^a)-(\text{CH}_2)_{1-4}\text{R}^k$, or
 (56) $-\text{N}(\text{R}^a)-\text{SO}_2\text{R}^k$,

each of R^1 and R^2 is independently:

- (1) $-\text{H}$,
 20 (2) methyl,
 (3) ethyl,
 (4) CF_3 ,
 (5) methoxy,
 (6) ethoxy
 25 (7) $-\text{OCF}_3$
 (8) halo selected from $-\text{F}$ and $-\text{Cl}$,
 (9) $-\text{CN}$,
 (10) $-\text{CH}_2\text{OR}^a$,
 (11) $-\text{CO}_2\text{R}^a$,
 30 (12) $-\text{SR}^a$,
 (13) $-\text{N}(\text{R}^a)_2$,
 (14) $-(\text{CH}_2)_{1-3}\text{N}(\text{R}^a)_2$,
 (15) $-\text{SO}_2\text{R}^a$,
 (16) $-\text{R}^k$,

- (17) $-(\text{CH}_2)_{1-3}\text{R}^k$,
 (18) $-\text{O}-\text{R}^k$, or
 (19) $-\text{O}-(\text{CH}_2)_{1-3}\text{R}^k$;

5 each R^a is independently -H or $-\text{C}_{1-4}$ alkyl;

each R^c is independently -H, $-\text{C}_{1-4}$ alkyl, or $-(\text{CH}_2)_{1-3}\text{N}(\text{R}^a)_2$;

each R^k is independently:

- 10 (1) phenyl which is unsubstituted or substituted with from 1 to 4 substituents independently selected from:
- (a) halogen selected from -F, -Cl, and -Br,
 - (b) methyl or ethyl,
 - (c) $-\text{CF}_3$,
 - 15 (d) methoxy,
 - (e) $-\text{OCF}_3$,
 - (f) phenyl,
 - (g) $-\text{S}-\text{CH}_3$,
 - (h) $-\text{CN}$,
 - 20 (i) $-\text{OH}$,
 - (j) phenoxy
 - (k) $-\text{N}(\text{R}^a)_2$,
 - (l) $-(\text{CH}_2)_{1-3}\text{N}(\text{R}^a)_2$,
 - (m) $-\text{R}^t$,
 - 25 (p) $-(\text{CH}_2)_{0-3}\text{C}(=\text{O})\text{N}(\text{R}^a)_2$, and
 - (q) $-(\text{CH}_2)_{0-3}\text{C}(=\text{O})\text{R}^a$;
- (2) $-\text{C}_{3-6}$ cycloalkyl,
- (3) a 5- or 6- membered heteroaromatic ring selected from thienyl, pyridyl, imidazolyl, pyrrolyl, pyrazolyl, thiazolyl, isothiazolyl, oxazolyl, isooxazolyl, pyrazinyl, pyrimidinyl, triazolyl, tetrazolyl, furanyl, and pyridazinyl, wherein the heteroaromatic ring is unsubstituted or substituted on nitrogen or carbon with 1 or 2 substituents independently selected from:
- (a) halogen selected from -F, -Cl, and -Br,
 - (b) methyl or ethyl,
- 30

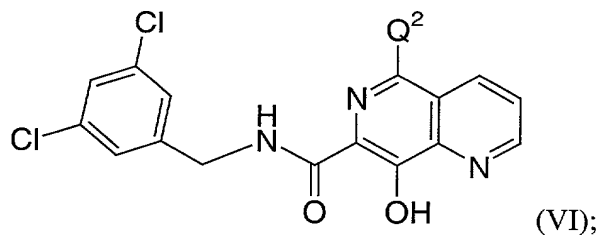
- 5 (c) $-\text{CF}_3$,
 (d) methoxy,
 (e) $-\text{OCF}_3$,
 (f) $-\text{S}-\text{C}_{1-6}$ alkyl,
 (g) $-\text{CN}$,
 (h) $-\text{OH}$,
 (i) $-\text{N}(\text{R}^a)_2$,
 (j) $-\text{C}_{1-6}$ alkyl- $\text{N}(\text{R}^a)_2$,
 (k) $-\text{R}^t$,
 10 (l) oxo,
 (m) $-(\text{CH}_2)_0-3\text{C}(=\text{O})\text{N}(\text{R}^a)_2$, and
 (n) $-(\text{CH}_2)_0-3\text{C}(=\text{O})\text{R}^a$;
- (4) a 5- or 6- or 7- membered saturated heterocyclic ring selected from piperidinyl, morpholinyl, thiomorpholinyl, thiazolidinyl, isothiazolidinyl, 15 oxazolidinyl, isooxazolidinyl, pyrrolidinyl, imidazolidinyl, piperazinyl, tetrahydrofuranyl, pyrazolidinyl, hexahydropyrimidinyl, thiazinanyl, thiazepanyl, azepanyl, thiadiazepanyl, dithiazepanyl, diazepanyl, and thiadiazinanyl; and wherein the heterocyclic ring is unsubstituted or substituted with 1 to 4 substituents independently selected from:
- 20 (a) halogen selected from $-\text{F}$, $-\text{Cl}$, and $-\text{Br}$,
 (b) methyl or ethyl,
 (c) $-\text{CF}_3$,
 (d) methoxy,
 (e) $-\text{OCF}_3$,
 25 (f) $-\text{CN}$,
 (g) $=\text{O}$,
 (h) phenyl,
 (i) benzyl,
 (j) phenylethyl,
 30 (k) $-\text{OH}$,
 (l) $-(\text{CH}_2)_0-3\text{C}(=\text{O})\text{N}(\text{R}^a)_2$,
 (m) $-(\text{CH}_2)_0-3\text{C}(=\text{O})\text{R}^a$,
 (n) $\text{N}(\text{R}^a)-\text{C}(=\text{O})\text{R}^a$,
 (o) $\text{N}(\text{R}^a)-\text{CO}_2\text{R}^a$,

- (p) $(\text{CH}_2)_{1-3}\text{N}(\text{R}^a)\text{-C(=O)R}^a$,
 (q) $\text{N}(\text{R}^a)_2$,
 (r) $(\text{CH}_2)_{1-3}\text{N}(\text{R}^a)_2$,
 (s) SO_2R^a ,
 5 (t) $\text{-(CH}_2\text{)}_{0-3}\text{C(=O)R}^t$,
 (u) -R^t ,
 (v) $\text{-N}(\text{R}^a)\text{R}^t$, and
 (w) $\text{-(CH}_2\text{)}_{1-3}\text{R}^t$; and
 (5) an 8- to 10- membered heterobicyclic ring selected from
 10 indolyl, benzotriazolyl, benzoimidazolyl, imidazo[4,5-b]pyridinyl,
 dihydroimidazo[4,5-b]pyridinyl, pyrazolo[4,3-c]pyridinyl, dihydropyrazolo[4,3-
 c]pyridinyl, tetrahydropyrazolo[4,3-c]pyridinyl, pyrrolo[1,2-a]pyrazinyl,
 dihydropyrrolo[1,2-a]pyrazinyl, tetrahydropyrrolo[1,2-a]pyrazinyl,
 octahydropyrrolo[1,2-a]pyrazinyl, isoindolyl, indazolyl, indolinyl, isoindolinyl,
 15 quinolinyl, isoquinolinyl, quinoxalyl, quinazolinyl, cinnolinyl, chromanyl,
 isochromanyl, and 1,2,3,4-tetrahydro-1,8-naphthyridinyl, wherein the bicyclic ring is
 unsubstituted or substituted with 1 or 2 substituents independently selected from:
 (a) halogen selected from -F, -Cl, and -Br,
 (b) methyl or ethyl,
 20 (c) -CF_3 ,
 (d) methoxy,
 (e) -OCF_3 ,
 (f) -CN ,
 (g) =O , and
 25 (h) -OH ;

R^t is selected from pyrrolidinyl, pyrazolidinyl, imidazolinyl, piperidinyl, piperazinyl,
 pyrrolyl, pyridyl, imidazolyl, pyrazolyl, triazolyl, tetrazolyl, pyrazinyl, pyrimidinyl,
 and pyradizinyl; any one of which is unsubstituted or substituted with 1 or 2
 30 substituents independently selected from -F, -Cl, -Br, oxo, methyl, and methoxy;

or a pharmaceutically acceptable salt thereof.

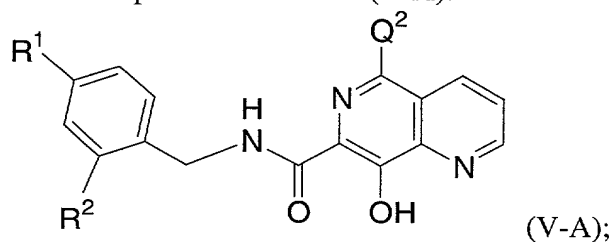
10. The compound according to claim 9, which is a compound of Formula (VI):



or a pharmaceutically acceptable salt thereof.

5

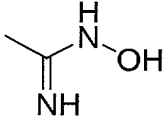
11. A compound of Formula (V-A):



Q^2 is

- | | |
|----|---|
| 10 | (1) -H, |
| | (2) methyl, |
| | (3) ethyl, |
| | (4) CF_3 , |
| | (5) methoxy, |
| 15 | (6) ethoxy |
| | (7) $-OCF_3$ |
| | (8) halo selected from -F, -Cl and -Br, |
| | (9) -CN, |
| | (10) $-CH_2OH$, |
| 20 | (11) $-CH_2OCH_3$ |
| | (12) $-(CH_2)_0-2C(=O)CH_3$, |
| | (13) $-(CH_2)_0-2CO_2CH_3$, |
| | (14) $-SR^a$, |
| | (15) $-N(R^a)_2$, |
| 25 | (16) $-(CH_2)_1-2N(R^a)_2$, |

- (17) $-(\text{CH}_2)_{0-2}\text{C}(=\text{O})\text{N}(\text{R}^a)_2$,
 (18) $-\text{S}-\text{CH}_2-\text{C}(=\text{O})\text{N}(\text{R}^a)_2$,
 (19) $-\text{O}-\text{CH}_2-\text{C}(=\text{O})\text{N}(\text{R}^a)_2$,
 (20) $-\text{N}(\text{SO}_2\text{R}^a)-\text{CH}_2-\text{C}(=\text{O})\text{N}(\text{R}^a)_2$,
 5 (21) $-\text{N}(\text{R}^a)-\text{C}(\text{R}^a)=\text{O}$,
 (22) $-\text{C}(=\text{O})-\text{N}(\text{R}^a)-(\text{CH}_2)_{1-2}-\text{C}(=\text{O})\text{N}(\text{R}^a)_2$,
 (23) $-\text{C}(=\text{O})-\text{N}(\text{R}^a)-(\text{CH}_2)_{1-2}\text{OR}^a$,
 (24) $-\text{C}(=\text{O})-\text{N}(\text{R}^a)-(\text{CH}_2)_{1-3}-\text{N}(\text{R}^a)_2$,
 (25) $-\text{SO}_2\text{R}^a$,
 10 (26) $-\text{N}(\text{R}^a)\text{SO}_2\text{R}^a$,
 (27) $-\text{CH}=\text{CH}-\text{C}(=\text{O})-\text{N}(\text{R}^a)_2$,
 (28) $-\text{C}\equiv\text{C}-\text{CH}_2\text{OR}^a$,
 (29) $-\text{C}\equiv\text{C}-\text{CH}_2\text{SR}^a$,
 (30) $-\text{C}\equiv\text{C}-\text{CH}_2\text{SO}_2\text{R}^a$,

 15 (31) ,
 (32) $-\text{N}(\text{R}^a)-(\text{CH}_2)_{1-3}\text{SR}^a$,
 (33) $-\text{N}(\text{R}^a)-(\text{CH}_2)_{1-3}\text{OR}^a$,
 (34) $-\text{N}(\text{R}^a)-(\text{CH}_2)_{1-3}\text{N}(\text{R}^a)_2$,
 (35) $-\text{N}(\text{R}^a)-(\text{CH}_2)_{1-3}\text{N}(\text{R}^a)-\text{C}(\text{R}^a)=\text{O}$,
 20 (36) $-\text{N}(\text{R}^a)\text{CH}_2-\text{C}(=\text{O})\text{N}(\text{R}^a)_2$,
 (37) $-\text{N}(\text{R}^a)-\text{C}(=\text{O})-\text{C}(=\text{O})-\text{N}(\text{R}^a)_2$,
 (38) $-\text{N}(\text{R}^a)-\text{C}(=\text{O})-\text{N}(\text{R}^a)_2$,
 (39) $-\text{N}(\text{R}^a)-(\text{CH}_2)_{1-2}-\text{CO}_2\text{R}^a$,
 (40) $-\text{N}(\text{R}^a)-\text{C}(=\text{O})-\text{N}(\text{R}^a)-(\text{CH}_2)_{1-2}-\text{C}(=\text{O})-\text{N}(\text{R}^a)_2$,
 25 (41) $-\text{N}(\text{R}^a)-\text{C}(=\text{O})-(\text{CH}_2)_{1-2}-\text{C}(=\text{O})-\text{N}(\text{R}^a)_2$,
 (42) $-\text{N}(\text{R}^a)-\text{SO}_2-\text{N}(\text{R}^a)_2$,
 (43) $-\text{R}^k$,
 (44) $-(\text{CH}_2)_{1-4}\text{R}^k$,
 (45) $-\text{C}\equiv\text{C}-\text{CH}_2\text{R}^k$,
 30 (46) $-\text{O}-\text{R}^k$,
 (47) $-\text{S}-\text{R}^k$,
 (48) $-\text{SO}_2-\text{R}^k$,

- (49) $-N(R^c)-R^k$,
 (50) $-N(R^c)-(CH_2)_{1-4}H$ substituted with one or two R^k groups,
 (51) $-N(R^c)-(CH_2)_{1-4}OR^k$,
 (52) $-C(=O)-R^k$,
 5 (53) $-C(=O)N(R^a)-R^k$,
 (54) $-N(R^a)-C(=O)-R^k$,
 (55) $-C(=O)N(R^a)-(CH_2)_{1-4}R^k$, or
 (56) $-N(R^a)-SO_2R^k$,

10 each of R^1 and R^2 is independently:

- (1) $-H$,
 (2) methyl,
 (3) ethyl,
 (4) CF_3 ,
 15 (5) methoxy,
 (6) ethoxy
 (7) $-OCF_3$
 (8) halo selected from $-F$ and $-Cl$,
 (9) $-CN$,
 20 (10) $-CH_2OR^a$,
 (11) $-CO_2R^a$,
 (12) $-SR^a$,
 (13) $-N(R^a)_2$,
 (14) $-(CH_2)_{1-3}N(R^a)_2$,
 25 (15) $-SO_2R^a$,
 (16) $-R^k$,
 (17) $-(CH_2)_{1-3}R^k$,
 (18) $-O-R^k$, or
 (19) $-O-(CH_2)_{1-3}R^k$;

30

each R^a is independently $-H$ or $-C_{1-4}$ alkyl;

each R^c is independently $-H$, $-C_{1-4}$ alkyl, or $-(CH_2)_{1-3}N(R^a)_2$;

each R^k is independently:

(1) phenyl which is unsubstituted or substituted with from 1 to 4 substituents independently selected from:

- | | |
|----|--|
| 5 | (a) halogen selected from -F, -Cl, and -Br,
(b) methyl or ethyl,
(c) -CF ₃ ,
(d) methoxy,
(e) -OCF ₃ , |
| 10 | (f) phenyl,
(g) -S-CH ₃ ,
(h) -CN,
(i) -OH,
(j) phenyloxy
(k) -N(R ^a) ₂ , |
| 15 | (l) -(CH ₂) ₁₋₃ N(R ^a) ₂ ,
(m) -R ^t ,
(p) -(CH ₂) ₀₋₃ C(=O)N(R ^a) ₂ , and
(q) -(CH ₂) ₀₋₃ C(=O)R ^a ; |
| 20 | (2) -C ₃₋₆ cycloalkyl,
(3) a 5- or 6- membered heteroaromatic ring selected from thienyl,
pyridyl, imidazolyl, pyrrolyl, pyrazolyl, thiazolyl, isothiazolyl, oxazolyl, isooxazolyl,
pyrazinyl, pyrimidinyl, triazolyl, tetrazolyl, furanyl, and pyridazinyl, wherein the
heteroaromatic ring is unsubstituted or substituted on nitrogen or carbon with 1 or 2
substituents independently selected from: |
| 25 | (a) halogen selected from -F, -Cl, and -Br,
(b) methyl or ethyl,
(c) -CF ₃ ,
(d) methoxy,
(e) -OCF ₃ , |
| 30 | (f) -S-C ₁₋₆ alkyl,
(g) -CN,
(h) -OH,
(i) -N(R ^a) ₂ ,
(j) -C ₁₋₆ alkyl-N(R ^a) ₂ , |

- (k) $-R^t$,
 (l) oxo,
 (m) $-(CH_2)_0-3C(=O)N(R^a)_2$, and
 (n) $-(CH_2)_0-3C(=O)R^a$;
- 5 (4) a 5- or 6- or 7- membered saturated heterocyclic ring selected from piperidinyl, morpholinyl, thiomorpholinyl, thiazolidinyl, isothiazolidinyl, oxazolidinyl, isooxazolidinyl, pyrrolidinyl, imidazolidinyl, piperazinyl, tetrahydrofuranyl, pyrazolidinyl, hexahydropyrimidinyl, thiazinanyl, thiazepanyl, azepanyl, thiadiazepanyl, dithiazepanyl, diazepanyl, and thiadiazinanyl; and wherein
- 10 the heterocyclic ring is unsubstituted or substituted with 1 to 4 substituents independently selected from:
- (a) halogen selected from -F, -Cl, and -Br,
 (b) methyl or ethyl,
 (c) $-CF_3$,
 15 (d) methoxy,
 (e) $-OCF_3$,
 (f) $-CN$,
 (g) $=O$,
 (h) phenyl,
 20 (i) benzyl,
 (j) phenylethyl,
 (k) $-OH$,
 (l) $-(CH_2)_0-3C(=O)N(R^a)_2$,
 (m) $-(CH_2)_0-3C(=O)R^a$,
 25 (n) $N(R^a)-C(=O)R^a$,
 (o) $N(R^a)-CO_2R^a$,
 (p) $(CH_2)_1-3N(R^a)-C(=O)R^a$,
 (q) $N(R^a)_2$,
 (r) $(CH_2)_1-3N(R^a)_2$,
 30 (s) SO_2R^a ,
 (t) $-(CH_2)_0-3C(=O)R^t$,
 (u) $-R^t$,
 (v) $-N(R^a)R^t$, and
 (w) $-(CH_2)_1-3R^t$; and

- (5) an 8- to 10- membered heterobicyclic ring selected from indolyl, benzotriazolyl, benzoimidazolyl, imidazo[4,5-b]pyridinyl, dihydroimidazo[4,5-b]pyridinyl, pyrazolo[4,3-c]pyridinyl, dihydropyrazolo[4,3-c]pyridinyl, tetrahydropyrazolo[4,3-c]pyridinyl, pyrrolo[1,2-a]pyrazinyl, dihydropyrrolo[1,2-a]pyrazinyl, tetrahydropyrrolo[1,2-a]pyrazinyl, octahydropyrrolo[1,2-a]pyrazinyl, isoindolyl, indazolyl, indolinyl, isoindolinyl, quinolinyl, isoquinolinyl, quinoxalinyl, quinazolinyl, cinnolinyl, chromanyl, isochromanyl, and 1,2,3,4-tetrahydro-1,8-naphthyridinyl, wherein the bicyclic ring is unsubstituted or substituted with 1 or 2 substituents independently selected from:
- (a) halogen selected from -F, -Cl, and -Br,
 - (b) methyl or ethyl,
 - (c) -CF₃,
 - (d) methoxy,
 - (e) -OCF₃,
 - (f) -CN,
 - (g) =O, and
 - (h) -OH;

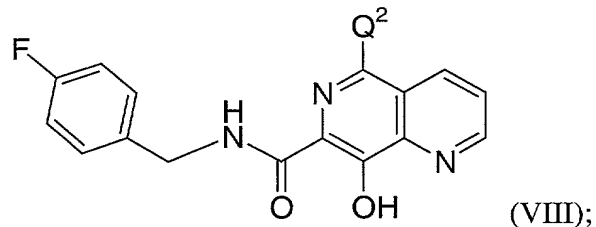
R^t is selected from pyrrolidinyl, pyrazolidinyl, imidazolinyl, piperidinyl, piperazinyl, pyrrolyl, pyridyl, imidazolyl, pyrazolyl, triazolyl, tetrazolyl, pyrazinyl, pyrimidinyl, and pyradizinyl; any one of which is unsubstituted or substituted with 1 or 2 substituents independently selected from -F, -Cl, -Br, oxo, methyl, and methoxy;

or a pharmaceutically acceptable salt thereof.

12. The compound according to claim 11, wherein R¹ is H or F, and R² is H or -SO₂CH₃, with the proviso that R¹ and R² are not both H;

or a pharmaceutically acceptable salt thereof.

13. The compound according to claim 12, which is a compound of Formula (VIII):



or a pharmaceutically acceptable salt.

14. The compound according to claim 12, wherein

Q² is:

- (1) -C(=O)N(R^a)₂,
- (2) -CH₂C(=O)N(R^a)₂,
- (3) -CH₂CH₂C(=O)N(R^a)₂,
- (4) -S-CH₂-C(=O)N(R^a)₂,
- (5) -O-CH₂-C(=O)N(R^a)₂,
- (6) -N(R^a)-C(R^a)=O,
- (7) -N(SO₂R^a)-CH₂-C(=O)N(R^a)₂,
- (8) -N(R^a)-C(=O)-C(=O)-N(R^a)₂,
- (9) -N(R^a)SO₂R^a,
- (10) -CH=CH-C(=O)-N(R^a)₂,
- (11) -N(R^a)CH₂-C(=O)N(R^a)₂,
- (12) -N(R^a)-C(=O)-N(R^a)₂,
- (13) -R^k,
- (14) -(CH₂)₁₋₃R^k, or
- (15) -N(R^c)-(CH₂)₁₋₃R^k,

each R^a is independently -H or -C₁₋₄ alkyl;

each R^c is independently -H or -C₁₋₄ alkyl; and

R^k is a saturated heterocyclic ring selected from piperidinyl, morpholinyl, thiomorpholinyl, thiazolidinyl, isothiazolidinyl, oxazolidinyl, isooxazolidinyl, pyrrolidinyl, imidazolidinyl, piperazinyl, tetrahydrofuranyl, pyrazolidinyl,

hexahydropyrimidinyl, 1,2-thiazinanyl, 1,4-thiazepanyl, 1,2,5-thiadiazepanyl, 1,5,2-dithiazepanyl, 1,4-diazepanyl, and 1,2,6-thiadiazinanyl, wherein the heterocyclic ring is unsubstituted or substituted with 1 to 4 substituents independently selected from:

- (a) methyl or ethyl,
- (b) =O,
- (c) -C(=O)N(R^a)₂,
- (d) -CH₂C(=O)N(R^a)₂,
- (e) -C(=O)R^a, or
- (f) -SO₂R^a;

or a pharmaceutically acceptable salt thereof.

15. The compound according to claim 14, wherein

Q² is:

- (1) -C(=O)N(R^a)₂,
- (2) -CH₂C(=O)N(R^a)₂,
- (3) -CH₂CH₂C(=O)N(R^a)₂,
- (4) -S-CH₂-C(=O)N(R^a)₂,
- (5) -O-CH₂-C(=O)N(R^a)₂,
- (6) -N(SO₂R^a)-CH₂-C(=O)N(R^a)₂,
- (7) -N(R^a)-C(=O)-C(=O)-N(R^a)₂,
- (8) -N(R^a)SO₂R^a,
- (9) -CH=CH-C(=O)-N(R^a)₂,
- (10) -N(R^a)CH₂-C(=O)N(R^a)₂,
- (11) -N(R^a)-C(=O)-N(R^a)₂,
- (12) -R^k,
- (13) -(CH₂)₁₋₂R^k, or
- (14) -NH-(CH₂)₁₋₂R^k;

each R^a is independently methyl, ethyl, or isopropyl; and

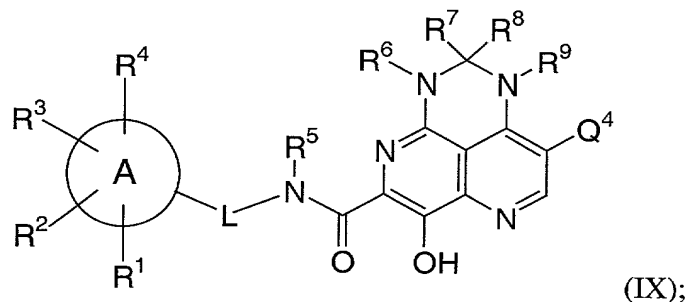
R^k is a saturated heterocyclic ring selected from piperidinyl, morpholinyl, thiomorpholinyl, thiazolidinyl, isothiazolidinyl, oxazolidinyl, isooxazolidinyl,

pyrrolidinyl, imidazolidinyl, piperazinyl, tetrahydrofuranyl, pyrazolidinyl, hexahydropyrimidinyl, 1,2-thiazinanyl, 1,4-thiazepanyl, 1,2,5-thiadiazepanyl, 1,5,2-dithiazepanyl, 1,4-diazepanyl, and 1,2,6-thiadiazinanyl, wherein the heterocyclic ring is unsubstituted or substituted with 1 to 4 substituents independently selected from:

- 5 (a) methyl or ethyl,
- (b) =O,
- (c) -C(=O)NH₂,
- (d) -C(=O)CH₃, or
- 10 (e) -SO₂CH₃;

or a pharmaceutically acceptable salt thereof.

16. The compound according to claim 4, which is a compound of Formula (IX):



wherein

each of R⁶ and R⁹ is independently:

- 20 (1) -H
- (2) -C₁₋₄ alkyl,
- (3) -C₁₋₄ fluoroalkyl,
- (4) -C₁₋₄ alkyl-OR^a,
- (5) -C₁₋₄ alkyl-S(O)_nR^a,
- 25 (6) -C₁₋₄ alkyl-N(R^a)₂,
- (7) -C₁₋₄ alkyl-C(=O)-N(R^a)₂,
- (8) -C₁₋₄ alkyl-CO₂R^a, and
- (9) -C₁₋₄ alkyl substituted with R^k; and

each of R^7 and R^8 is independently:

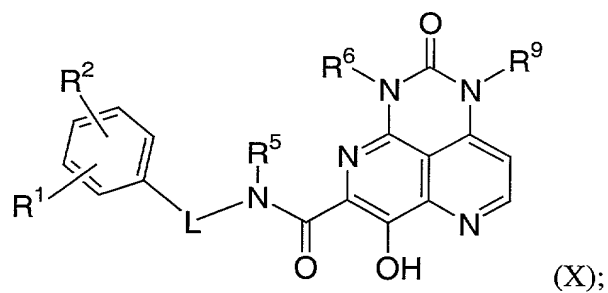
- (1) -H
- (2) -C₁₋₄ alkyl,
- (3) -C₁₋₄ fluoroalkyl,
- 5 (4) -C₁₋₄ alkyl-OR^a,
- (5) -C₁₋₄ alkyl-SR^a,
- (6) -C₁₋₄ alkyl-N(R^a)₂,
- (7) -C₁₋₄ alkyl-C(=O)-N(R^a)₂,
- (8) -C₁₋₄ alkyl-CO₂R^a, and
- 10 (9) -C₁₋₄ alkyl substituted with R^k;

or R^7 and R^8 together form oxo;

or a pharmaceutically acceptable salt thereof.

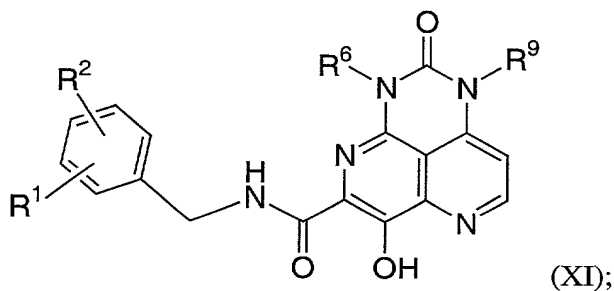
15

17. The compound according to claim 16, which is a compound of Formula (X):



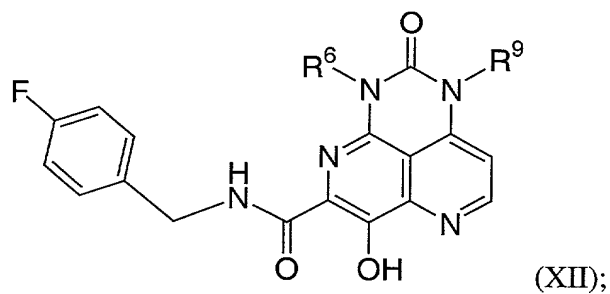
20 or a pharmaceutically acceptable salt thereof.

18. The compound according to claim 17, which is a compound of Formula (XI):



or a pharmaceutically acceptable salt thereof.

19. The compound according to claim 18, which is a compound of
 5 Formula (XII):



or a pharmaceutically acceptable salt thereof.

10

20. The compound according to claim 19, wherein

R⁶ is:

15

- (1) -H
- (2) methyl,
- (3) ethyl
- (4) -CF₃,
- (4) -(CH₂)₁₋₃-OR^a,
- (5) -(CH₂)₁₋₃-SR^a,
- 20 (6) -(CH₂)₁₋₃-SO₂R^a,
- (7) -(CH₂)₁₋₃-N(R^a)₂,
- (8) -(CH₂)₁₋₃-C(=O)-N(R^a)₂, or
- (9) -(CH₂)₁₋₃-CO₂R^a;

25 R⁹ is:

- (1) -H
- (2) methyl,
- (3) ethyl,
- (4) -CF₃,

- 5
- (4) $-(\text{CH}_2)_{1-3}-\text{OR}^a$,
 - (5) $-(\text{CH}_2)_{1-3}-\text{SR}^a$,
 - (6) $-(\text{CH}_2)_{1-3}-\text{SO}_2\text{R}^a$,
 - (7) $-(\text{CH}_2)_{1-3}-\text{N}(\text{R}^a)_2$,
 - (8) $-(\text{CH}_2)_{1-3}-\text{C}(=\text{O})-\text{N}(\text{R}^a)_2$,
 - (9) $-(\text{CH}_2)_{1-3}-\text{CO}_2\text{R}^a$, or
 - (10) $-(\text{CH}_2)_{1-3}-\text{R}^k$;

each R^a is independently -H, methyl, or ethyl;

10

R^k is a saturated heterocyclic ring selected from piperidinyl, morpholinyl, thiomorpholinyl, thiazolidinyl, isothiazolidinyl, oxazolidinyl, isooxazolidinyl, pyrrolidinyl, imidazolidinyl, piperazinyl, tetrahydrofuranyl, and pyrazolidinyl; and wherein the heterocyclic ring is unsubstituted or substituted with from 1 to 3

15 substituents independently selected from:

- (a) halogen selected from -F, -Cl, and -Br,
- (b) methyl,
- (c) $-\text{CF}_3$,
- (d) methoxy,
- 20 (e) $-\text{OCF}_3$,
- (f) -CN, and
- (g) $=\text{O}$;

or a pharmaceutically acceptable salt thereof.

25

21. A compound according to claim 1, which is a compound selected from the group consisting of

30

N-(3,5-dichlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

N-(2,5-dichlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

N-[(1R,S)-2,3-dihydro-1H-inden-1-yl]-8-hydroxy-1,6-naphthyridine-7-carboxamide;

N-[2-(2-chlorophenyl)ethyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide;

N-[2-(2-chlorophenyl)ethyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide;

8-hydroxy-N-[2-(4-phenoxyphenyl)ethyl]-1,6-naphthyridine-7-carboxamide;

8-hydroxy-N-[2-(4-phenoxyphenyl)ethyl]-1,6-naphthyridine-7-carboxamide;

10

N-(1,1'-biphenyl-3-ylmethyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

N-(1,1'-biphenyl-3-ylmethyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

8 N-(2-chlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

8 N-(2-chlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

20

8-hydroxy-N-(2-phenylethyl)-1,6-naphthyridine-7-carboxamide;

8-hydroxy-N-(2-phenylethyl)-1,6-naphthyridine-7-carboxamide;

N-benzyl-8-hydroxy-N-phenyl-1,6-naphthyridine-7-carboxamide;

N-benzyl-8-hydroxy-N-phenyl-1,6-naphthyridine-7-carboxamide;

30

Methyl (2S)-{[(8-hydroxy-1,6-naphthyridin-7-yl)carbonyl]amino} (phenyl)ethanoate;

Ethyl N-benzyl-N-[(8-hydroxy-1,6-naphthyridin-7-yl)carbonyl]glycinate;

N-benzyl-8-hydroxy-N-(2-phenylethyl)-1,6-naphthyridine-7-carboxamide;

5 N-(1,2-diphenylethyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

N-(2,3-dihydro-1H-inden-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

N-benzyl-8-hydroxy-1,6-naphthyridine-7-carboxamide;

10

N-(2-anilinoethyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

N-(2,2-diphenylethyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

15 N-(3,3-diphenylpropyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

N-(2-chloro-6-phenoxybenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

Methyl (2R)-{[(8-hydroxy-1,6-naphthyridin-7-yl)carbonyl]amino} (phenyl)ethanoate;

20

8-hydroxy-N-(1,2,3,4-tetrahydronaphthalen-1-yl)-1,6-naphthyridine-7-carboxamide;

N-(2,3-dihydro-1H-inden-1-ylmethyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

25 8-hydroxy-N-(6,7,8,9-tetrahydro-5H-benzo[a][7]annulen-6-ylmethyl)-1,6-naphthyridine-7-carboxamide;

8-hydroxy-N-[2-(1-naphthylamino)ethyl]-1,6-naphthyridine-7-carboxamide;

30 N-(2,3-dihydro-1H-inden-2-ylmethyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

8-hydroxy-N-[(1R)-1-phenylethyl]-1,6-naphthyridine-7-carboxamide;

8-hydroxy-N-[(1S)-1-phenylethyl]-1,6-naphthyridine-7-carboxamide;

8-hydroxy-N-(3-hydroxy-1-phenylpropyl)-1,6-naphthyridine-7-carboxamide;

N-[2-(4-chlorophenyl)ethyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide;

5

8-hydroxy-N-[(1R)-2-hydroxy-1-phenylethyl]-1,6-naphthyridine-7-carboxamide;

N-[(1S)-1-benzyl-2-hydroxyethyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide;

10 N-[(1R)-1-benzyl-2-hydroxyethyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide;

8-hydroxy-N-(2-hydroxy-2-phenylethyl)-1,6-naphthyridine-7-carboxamide;

5-chloro-N-(3,5-dichlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

15

N-(3,5-dichlorobenzyl)-8-hydroxy-5-piperidin-1-yl-1,6-naphthyridine-7-carboxamide;

N-(3,5-dichlorobenzyl)-8-hydroxy-5-phenyl-1,6-naphthyridine-7-carboxamide;

20 N-(3,5-dichlorobenzyl)-8-hydroxy-5-(1H-imidazol-1-yl)-1,6-naphthyridine-7-carboxamide;

N-(3,5-dichlorobenzyl)-8-hydroxy-5-morpholin-4-yl-1,6-naphthyridine-7-carboxamide;

25

(±)-8-hydroxy-N-[(*cis*)-3-phenyl-2,3-dihydro-1H-inden-1-yl]-1,6-naphthyridine-7-carboxamide

5-bromo-N-(3,5-dichlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

30

N-(benzyl)-8-hydroxy-5-phenyl-1,6-naphthyridine-7-carboxamide;

N-(2,3-dihydro-1H-inden-1-yl)-8-hydroxy-5-phenyl-1,6-naphthyridine-7-carboxamide;

8-hydroxy-N-(1-naphthylmethyl)-5-phenyl-1,6-naphthyridine-7-carboxamide;

N-(2,5-dichlorobenzyl)-8-hydroxy-5-phenyl-1,6-naphthyridine-7-carboxamide;

5

N-(3-chlorobenzyl)-8-hydroxy-5-phenyl-1,6-naphthyridine-7-carboxamide;

N-[(1S)-2,3-dihydro-1H-inden-1-yl]-8-hydroxy-1,6-naphthyridine-7-carboxamide;

10 N-(3,5-dichlorobenzyl)-8-hydroxy-5-phenoxy-1,6-naphthyridine-7-carboxamide;

N-(3,5-dichlorobenzyl)-8-hydroxy-5-(4-methylpiperazin-1-yl)-1,6-naphthyridine-7-carboxamide;

15 5-(4-benzylpiperazin-1-yl)-N-(3,5-dichlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

N-(3,5-dichlorobenzyl)-5-{4-[2-(formylamino)ethyl]piperazin-1-yl}-8-hydroxy-1,6-naphthyridine-7-carboxamide;

20

N-(3,5-dichlorobenzyl)-8-hydroxy-5-(4-pyridin-2-ylpiperazin-1-yl)-1,6-naphthyridine-7-carboxamide;

25 N-(3,5-dichlorobenzyl)-8-hydroxy-5-(4-pyrrolidin-1-ylpiperidin-1-yl)-1,6-naphthyridine-7-carboxamide;

5-anilino-N-(3,5-dichlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

30 N-(3,5-dichlorobenzyl)-5-{[3-(formylamino)propyl]amino}-8-hydroxy-1,6-naphthyridine-7-carboxamide;

N-(3,5-dichlorobenzyl)-5-{[2-(dimethylamino)ethyl]amino}-8-hydroxy-1,6-naphthyridine-7-carboxamide;

N-(3,5-dichlorobenzyl)-8-hydroxy-5-[(2-morpholin-4-ylethyl)amino]-1,6-naphthyridine-7-carboxamide;

5 5-[(1-benzylpiperidin-4-yl)amino]-*N*-(3,5-dichlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

N-(3,5-dichlorobenzyl)-5-[[2-(dimethylamino)ethyl](methyl)amino]-8-hydroxy-1,6-naphthyridine-7-carboxamide;

10 8-Hydroxy-5-phenylsulfanyl-[1,6]naphthyridine-7-carboxylic acid 3,5-dichlorobenzylamide;

5-benzenesulfonyl-8-hydroxy-[1,6]naphthyridine-7-carboxylic acid 3,5-dichlorobenzylamide;

15 tert-butyl 1-(7-[[[(3,5-dichlorobenzyl)amino]carbonyl]-8-hydroxy-1,6-naphthyridin-5-yl]pyrrolidin-3-yl)carbamate;

20 5-(3-aminopyrrolidin-1-yl)-*N*-(3,5-dichlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide trifluoroacetate;

N-(3,5-dichlorobenzyl)-8-hydroxy-5-(4*H*-1,2,4-triazol-4-yl)-1,6-naphthyridine-7-carboxamide;

25 *N*-(3,5-dichlorobenzyl)-8-hydroxy-5-(1*H*-1,2,4-triazol-1-yl)-1,6-naphthyridine-7-carboxamide;

N-(3,5-dichlorobenzyl)-8-hydroxy-5-(3-hydroxypyrrolidin-1-yl)-1,6-naphthyridine-7-carboxamide;

30 5-[3-(acetylamino)pyrrolidin-1-yl]-*N*-(3,5-dichlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

N-(3,5-dichlorobenzyl)-5-(4-formylpiperazin-1-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

5 1-(7-{[(3,5-dichlorobenzyl)amino]carbonyl}-8-hydroxy-1,6-naphthyridin-5-yl)piperazine;

8-Hydroxy-5-(3-hydroxy-prop-1-ynyl)-[1,6]naphthyridine-7-carboxylic acid 3,5-dichloro-benzylamide;

10 1-(7-{[(3,5-dichlorobenzyl)amino]carbonyl}-8-hydroxy-1,6-naphthyridin-5-yl)-4-(2-oxo-2-pyrrolidin-1-ylethyl)piperazine;

8-Hydroxy-5-(3-piperidin-1-yl-prop-1-ynyl)-[1,6]naphthyridine-7-carboxylic acid 3,5-dichloro-benzylamide;

15 *N*-(3,5-dichlorobenzyl)-8-hydroxy-5-thiomorpholin-4-yl-1,6-naphthyridine-7-carboxamide;

20 5-[3-(aminocarbonyl)piperidin-1-yl]-*N*-(3,5-dichlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

1-(7-{[(3,5-dichlorobenzyl)amino]carbonyl}-8-hydroxy-1,6-naphthyridin-5-yl)-4-(2-phenylethyl)piperazine;

25 4-[(7-{[(3,5-dichlorobenzyl)amino]carbonyl}-8-hydroxy-1,6-naphthyridin-5-yl)amino]pyridine;

5-[(cyclopropylmethyl)amino]-*N*-(3,5-dichlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

30 *N*-(3,5-dichlorobenzyl)-5-{[2-(formylamino)ethyl]amino}-8-hydroxy-1,6-naphthyridine-7-carboxamide;

2-[(7-{[(3,5-dichlorobenzyl)amino]carbonyl}-8-hydroxy-1,6-naphthyridin-5-yl)amino]ethanamine;

5 *N*-(3,5-dichlorobenzyl)-8-hydroxy-5-[(2-methoxyethyl)amino]-1,6-naphthyridine-7-carboxamide;

N-(3,5-dichlorobenzyl)-8-hydroxy-5-{[2-(methylthio)ethyl]amino}-1,6-naphthyridine-7-carboxamide;

10 1-{2-[(7-{[(3,5-dichlorobenzyl)amino]carbonyl}-8-hydroxy-1,6-naphthyridin-5-yl)amino]ethyl}pyrrolidine;

1 *N*-(3,5-dichlorobenzyl)-8-hydroxy-5-pyrrolidin-1-yl-1,6-naphthyridine-7-carboxamide;

15 3-{2-[(7-{[(3,5-dichlorobenzyl)amino]carbonyl}-8-hydroxy-1,6-naphthyridin-5-yl)amino]ethyl}pyridine;

20 1-{3-[(7-{[(3,5-dichlorobenzyl)amino]carbonyl}-8-hydroxy-1,6-naphthyridin-5-yl)amino]propyl}-1*H*-imidazoline;

1-{3-[(7-{[(3,5-dichlorobenzyl)amino]carbonyl}-8-hydroxy-1,6-naphthyridin-5-yl)amino]propyl}pyrrolidine;

25 1-(2-aminoethyl)-4-(7-{[(3,5-dichlorobenzyl)amino]carbonyl}-8-hydroxy-1,6-naphthyridin-5-yl)piperazine;

N-(3,5-dichlorobenzyl)-8-hydroxy-5-[(2-phenoxyethyl)amino]-1,6-naphthyridine-7-carboxamide;

30 *N*-(3,5-dichlorobenzyl)-8-hydroxy-5-{[3-(2-oxopyrrolidin-1-yl)propyl]amino}-1,6-naphthyridine-7-carboxamide;

2-[benzyl(7-{[(3,5-dichlorobenzyl)amino]carbonyl}-8-hydroxy-1,6-naphthyridin-5-yl)amino]ethanamine;

1-{3-[(7-{[(3,5-dichlorobenzyl)amino]carbonyl}-8-hydroxy-1,6-naphthyridin-5-yl)amino]propyl}-4-methylpiperazine;

1:1 mixture of 1-(7-{[(3,5-dichlorobenzyl)amino]carbonyl}-8-hydroxy-1,6-naphthyridin-5-yl)-1*H*-imidazo[4,5-*b*]pyridine and 3-(7-{[(3,5-dichlorobenzyl)amino]carbonyl}-8-hydroxy-1,6-naphthyridin-5-yl)-3*H*-imidazo[4,5-*b*]pyridine;

N-(3,5-dichlorobenzyl)-8-hydroxy-5-{[4-(3-methyl-2-oxoimidazolidin-1-yl)phenyl]amino}-1,6-naphthyridine-7-carboxamide;

N-(3,5-dichlorobenzyl)-8-hydroxy-5-(1,4,6,7-tetrahydro-5*H*-pyrazolo[4,3-*c*]pyridin-5-yl)-1,6-naphthyridine-7-carboxamide;

N-(3,5-dichlorobenzyl)-8-hydroxy-5-({(2*R*)-5-oxopyrrolidin-2-yl)methyl}amino)-1,6-naphthyridine-7-carboxamide;

N-(3,5-dichlorobenzyl)-8-hydroxy-5-{[(5-oxo-4,5-dihydro-1*H*-1,2,4-triazol-3-yl)methyl]amino}-1,6-naphthyridine-7-carboxamide;

2-(7-{[(3,5-dichlorobenzyl)amino]carbonyl}-8-hydroxy-1,6-naphthyridin-5-yl)octahydropyrrolo[1,2-*a*]pyrazine;

N-(3,5-dichlorobenzyl)-8-hydroxy-5-[4-(pyrimidin-2-ylamino)piperidin-1-yl]-1,6-naphthyridine-7-carboxamide

2-{2-[(7-{[(3,5-dichlorobenzyl)amino]carbonyl}-8-hydroxy-1,6-naphthyridin-5-yl)(methyl)amino]ethyl}pyridine;

N-(3,5-dichlorobenzyl)-5-(dimethylamino)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

8-Hydroxy-5-(3-morpholin-4-yl-prop-1-ynyl)-[1,6]naphthyridine-7-carboxylic acid
3,5-dichloro-benzylamide;

5 N-(3,5-difluorobenzyl)-8-hydroxy-5-(methylsulfonyl)-1,6-naphthyridine-7-
carboxamide;

5-cyano-N-(2,3-dimethoxybenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

10 N-(3,5-dichlorobenzyl)-8-hydroxy-5-thien-2-yl-1,6-naphthyridine-7-carboxamide;

8-hydroxy-5-phenylsulfonyl-[1,6]naphthyridine-7-carboxylic acid 2-
methylsulfonylbenzylamide;

15 N-(2,3-dimethoxybenzyl)-8-hydroxy-5-(methylsulfonyl)-1,6-naphthyridine-7-
carboxamide;

N-(3,5-dichlorobenzyl)-8-hydroxy-5-[(2-hydroxyethyl)amino]-1,6-naphthyridine-7-
carboxamide;

20 N-(3,5-dichlorobenzyl)-8-hydroxy-5-(propylamino)-1,6-naphthyridine-7-
carboxamide;

N-(3,5-dichlorobenzyl)-8-hydroxy-5-[(1H-imidazol-4-ylethyl)amino]-1,6-
25 naphthyridine-7-carboxamide;

N-(3,5-dichlorobenzyl)-8-hydroxy-5-[(3-phenylprop-1-yl)amino]-1,6-naphthyridine-
7-carboxamide;

30 N-(3,5-dichlorobenzyl)-8-hydroxy-5-[(3-morpholin-4-ylpropyl)amino]-1,6-
naphthyridine-7-carboxamide;

N-(3,5-dichlorobenzyl)-8-hydroxy-5-[4-(pyridin-2-ylmethyl)piperazin-1-yl]-1,6-
naphthyridine-7-carboxamide;

N-(3,5-dichlorobenzyl)-8-hydroxy-5-[(2-morpholin-4-yl-2-pyridin-3-ylethyl)amino]-1,6-naphthyridine-7-carboxamide;

- 5 N-(2,3-dimethoxybenzyl)-5-{[4-(dimethylamino)phenyl]thio}-8-hydroxy-1,6-naphthyridine-7-carboxamide;

8-hydroxy-6-methyl-[1,6]naphthyridine-7-carboxylic acid 3,5-dichloro-benzylamide;

- 10 8-hydroxy-6-methyl-[1,6]naphthyridine-7-carboxylic acid 4-fluoro-benzylamide;

5-bromo-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

- 15 1-(7-{[(4-fluorobenzyl)amino]carbonyl}-8-hydroxy-1,6-naphthyridin-5-yl)-4-methylpiperazine;

1-(7-{[(4-fluorobenzyl)amino]carbonyl}-8-hydroxy-1,6-naphthyridin-5-yl)piperazine;

- 20 5-[[2-(dimethylamino)-2-oxoethyl](methyl)amino]-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

N-1-(7-{[(4-fluorobenzyl)amino]carbonyl}-8-hydroxy-1,6-naphthyridin-5-yl)-N-1-,N-2-,N-2-trimethylethanediamide ;

- 25 N-(4-fluorobenzyl)-5-(2,6-dioxohexahydropyrimidin-4-yl)-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

5-(1,3-dimethyl-2,6-dioxohexahydro-4-pyrimidinyl)-N-(4-fluorobenzyl)-8-hydroxy[1,6]-naphthyridine-7-carboxamide;

- 30 5-(1-methyl-2,6-dioxohexahydro-4-pyrimidinyl)-N-(4-fluorobenzyl)-8-hydroxy[1,6]-naphthyridine-7-carboxamide;

5-(3-methyl-2,6-dioxohexahydro-4-pyrimidinyl)-N-(4-fluorobenzyl)-8-hydroxy[1,6]-naphthyridine-7-carboxamide;

5 *N*-(4-fluorobenzyl)-8-hydroxy-5-(5-oxo-1,4-thiazepan-7-yl)[1,6]naphthyridine-7-carboxamide;

N-(4-fluorobenzyl)-8-hydroxy-5-(1-oxido-5-oxo-1,4-thiazepan-7-yl)-[1,6]naphthyridine-7-carboxamide;

10 *N*-(4-fluorobenzyl)-8-hydroxy-5-(1,1-dioxido-5-oxo-1,4-thiazepan-7-yl)[1,6]-naphthyridine-7-carboxamide;

N-(4-fluorobenzyl)-5-{[2-(dimethylamino)-2-oxoethyl]sulfanyl}-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

15 *N*-(4-fluorobenzyl)-5-[2-(dimethylamino)-2-oxoethoxy]-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

20 *N*-(4-fluorobenzyl)-5-{[2-(dimethylamino)-2-oxoethyl](methylsulfonyl)amino}-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

N-(4-fluorobenzyl)-5-[3-(dimethylamino)-3-oxopropyl]-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

25 *N*-(4-fluorobenzyl)-5-[(1*E*)-3-(dimethylamino)-3-oxo-1-propenyl]-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

N-(4-fluorobenzyl)-5-[2-(3-oxo-1-piperazinyl)ethyl]-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

30 *N*-(4-fluorobenzyl)-5-[2-(2-oxo-1-imidazolidinyl)ethyl]-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

N-(4-fluorobenzyl)-5-[2-(2-oxo-1-piperazinyl)ethyl]-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

5 5-(1,1-dioxido-1,2-thiazinan-2-yl)-*N*-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

5-(1,1-dioxidoisothiazolidin-2-yl)-*N*-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

10 *N*-(4-fluorobenzyl)-8-hydroxy-5-[methyl(methylsulfonyl)amino]-1,6-naphthyridine-7-carboxamide;

5-[acetyl(methyl)amino]-*N*-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

15 5-[[[(dimethylamino)carbonyl](methyl)amino]-*N*-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

20 *N*-(4-fluorobenzyl)-6-hydroxy-3-methyl-1-(2-morpholin-4-ylethyl)-2-oxo-2,3-dihydro-1H-pyrimido[4,5,6-de]-1,6-naphthyridine-5-carboxamide;

N-(4-fluorobenzyl)-8-hydroxy-5-thiomorpholin-4-yl-1,6-naphthyridine-7-carboxamide;

25 5-(1,1-dioxidothiomorpholin-4-yl)-*N*-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

N-(4-fluorobenzyl)-8-hydroxy-5-(4-methyl-3-oxopiperazin-1-yl)-1,6-naphthyridine-7-carboxamide;

30 1-(7-{[4-fluorobenzyl]amino}carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl-L-prolinamide;

N-(4-fluorobenzyl)-8-hydroxy-5-(2-oxotetrahydropyrimidin-1(2*H*)-yl)-1,6-naphthyridine-7-carboxamide;

5 *N*-(4-fluorobenzyl)-8-hydroxy-5-(2-oxoimidazolidin-1-yl)-1,6-naphthyridine-7-carboxamide;

N-7-(4-fluorobenzyl)-8-hydroxy-*N* 5, *N* 5-dimethyl-1,6-naphthyridine-5,7-dicarboxamide;

10 *N*-7-(4-fluorobenzyl)-8-hydroxy-*N* 5-isopropyl-*N* 5-methyl-1,6-naphthyridine-5,7-dicarboxamide;

15 *N*-7-(4-fluorobenzyl)-8-hydroxy-*N* 5-(2-morpholin-4-ylethyl)-1,6-naphthyridine-5,7-dicarboxamide;

N 5-[2-(dimethylamino)-2-oxoethyl]-*N* 7-(4-fluorobenzyl)-8-hydroxy-*N* 5-methyl-1,6-naphthyridine-5,7-dicarboxamide;

20 *N*-(4-fluorobenzyl)-5-(1,1-dioxido-4-oxo-1,2,5-thiadiazepan-2-yl)-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

N-(4-fluorobenzyl)-5-(1,1-dioxido-5-methyl-4-oxo-1,2,5-thiadiazepan-2-yl)-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

25 *N*-(4-fluorobenzyl)-5-(1,1-dioxido-5-ethyl-4-oxo-1,2,5-thiadiazepan-2-yl)-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

30 *N*-(4-fluorobenzyl)-5-(1,1-dioxido-1,5,2-dithiazepan-2-yl)-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

N-(4-fluorobenzyl)-5-(1,1,5,5-tetraoxido-1,5,2-dithiazepan-2-yl)-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

N-(4-fluorobenzyl)-5-(1,4-dimethyl-7-oxo-1,4-diazepan-5-yl)-8-hydroxy-[1,6]-naphthyridine-7-carboxamide;

5 *N*-(4-fluorobenzyl)-5-(1-methyl-7-oxo-1,4-diazepan-5-yl)-8-hydroxy-[1,6]-naphthyridine-7-carboxamide;

N-(4-Fluorobenzyl)-5-(7-oxo-1,4-diazepan-5-yl)-8-hydroxy-[1,6]-naphthyridine-7-carboxamide

10 *N*-(4-fluorobenzyl)-5-[4-(methylsulfonyl)thiomorpholin-2-yl]-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

15 *N*-(4-fluorobenzyl)-5-[4-(methylsulfonyl)-1-oxidithiomorpholin-2-yl]-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

N-(4-fluorobenzyl)-5-[4-(methylsulfonyl)-1,1-dioxidithiomorpholin-2-yl]-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

20 *N*-(4-fluorobenzyl)-5-(2-Acetyl-1-methylpyrazolidin-3-yl)-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

N-(4-fluorobenzyl)-5-(1,1-dioxido-1,2,5-thiadiazepan-2-yl)-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

25 *N*-(4-fluorobenzyl)-8-hydroxy-5-[5-(methylsulfonyl)-1,1-dioxido-1,2,5-thiadiazepan-2-yl]-1,6-naphthyridine-7-carboxamide;

N-(4-fluorobenzyl)-8-hydroxy-5(6-methyl-1,1-dioxido-1,2,6-thiadiazinan-2-yl)-1,6-naphthyridine-7-carboxamide;

30 *N*-(4-fluorobenzyl)-8-hydroxy-5-{methyl[(1-methyl-1*H*-imidazol-4-yl)sulfonyl]amino}-1,6-naphthyridine-7-carboxamide;

N-[4-fluoro-2-(methylsulfonyl)benzyl]-8-hydroxy-5-{methyl[(1-methyl-1*H*-imidazol-4-yl)sulfonyl]amino}-1,6-naphthyridine-7-carboxamide;

5 *N*-7-[4-fluoro-2-(methylsulfonyl)benzyl]-8-hydroxy-*N*-5-,*N*-5-dimethyl-1,6-naphthyridine-5,7-dicarboxamide;

N-[4-fluoro-2-(methylsulfonyl)benzyl]-8-hydroxy-5-(1,1-dioxido-1,2-thiazinan-2-yl)-1,6-naphthyridine-7-carboxamide

10 *N*-(2-(methylsulfonyl)benzyl)-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

15 *N*-(2-[(dimethylaminosulfonyl)-4-fluorobenzyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

N-(4-fluorobenzyl)-8-hydroxy-5-(1-methyl-5-oxopyrrolidin-3-yl)-1,6-naphthyridine-7-carboxamide;

and pharmaceutically acceptable salts thereof.

20

22. A compound according to claim 21, which is a compound selected from the group consisting of

25 1-(7-{[(4-fluorobenzyl)amino]carbonyl}-8-hydroxy-1,6-naphthyridin-5-yl)-4-methylpiperazine;

1-(7-{[(4-fluorobenzyl)amino]carbonyl}-8-hydroxy-1,6-naphthyridin-5-yl)piperazine;

30 *N*-(3,5-dichlorobenzyl)-5-(4-formylpiperazin-1-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

N-(3,5-dichlorobenzyl)-5-{4-[2-(formylamino)ethyl]piperazin-1-yl}-8-hydroxy-1,6-naphthyridine-7-carboxamide;

- N-(3,5-dichlorobenzyl)-8-hydroxy-5-[4-(pyridin-2-ylmethyl)piperazin-1-yl]-1,6-naphthyridine-7-carboxamide;
- 5 1-(7-{{[(3,5-dichlorobenzyl)amino]carbonyl}-8-hydroxy-1,6-naphthyridin-5-yl)-4-(2-oxo-2-pyrrolidin-1-ylethyl)piperazine;
- 1-(7-{{[(3,5-dichlorobenzyl)amino]carbonyl}-8-hydroxy-1,6-naphthyridin-5-yl)piperazine;
- 10 2-(7-{{[(3,5-dichlorobenzyl)amino]carbonyl}-8-hydroxy-1,6-naphthyridin-5-yl)octahydropyrrolo[1,2-*a*]pyrazine;
- 15 *N*-(3,5-dichlorobenzyl)-8-hydroxy-5-(1,4,6,7-tetrahydro-5*H*-pyrazolo[4,3-*c*]pyridin-5-yl)-1,6-naphthyridine-7-carboxamide;
- N*-(3,5-dichlorobenzyl)-8-hydroxy-5-{{[4-(3-methyl-2-oxoimidazolidin-1-yl)phenyl]amino}-1,6-naphthyridine-7-carboxamide;
- 20 5-[3-(aminocarbonyl)piperidin-1-yl]-*N*-(3,5-dichlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;
- N*-(3,5-dichlorobenzyl)-8-hydroxy-5-(4-pyrrolidin-1-ylpiperidin-1-yl)-1,6-naphthyridine-7-carboxamide;
- 25 *N*-(3,5-dichlorobenzyl)-8-hydroxy-5-[(2-morpholin-4-ylethyl)amino]-1,6-naphthyridine-7-carboxamide;
- N*-(3,5-dichlorobenzyl)-8-hydroxy-5-(4-methylpiperazin-1-yl)-1,6-naphthyridine-7-carboxamide;
- 30 8-hydroxy-6-methyl-[1,6]naphthyridine-7-carboxylic acid 3,5-dichloro-benzylamide;
- N*-(3,5-dichlorobenzyl)-8-hydroxy-5-[4-(pyrimidin-2-ylamino)piperidin-1-yl]-1,6-naphthyridine-7-carboxamide

N-(3,5-dichlorobenzyl)-8-hydroxy-5-[(3-morpholin-4-ylpropyl)amino]-1,6-naphthyridine-7-carboxamide;

- 5 N-(3,5-dichlorobenzyl)-8-hydroxy-5-[(2-morpholin-4-yl-2-pyridin-3-ylethyl)amino]-1,6-naphthyridine-7-carboxamide;

2-{2-[(7-{[(3,5-dichlorobenzyl)amino]carbonyl}-8-hydroxy-1,6-naphthyridin-5-yl)(methyl)amino]ethyl}pyridine;

10

N-(3,5-dichlorobenzyl)-8-hydroxy-5-(4-pyridin-2-ylpiperazin-1-yl)-1,6-naphthyridine-7-carboxamide;

and pharmaceutically acceptable salts thereof.

15

23. A compound according to claim 21, which is a compound selected from the group consisting of

- 20 5-[[2-(dimethylamino)-2-oxoethyl](methyl)amino]-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

N-1-(7-{[(4-fluorobenzyl)amino]carbonyl}-8-hydroxy-1,6-naphthyridin-5-yl)-N-1-,N-2-,N-2-trimethylethanediamide ;

- 25 N-(4-fluorobenzyl)-5-(2,6-dioxohexahydropyrimidin-4-yl)-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

5-(1,3-dimethyl-2,6-dioxohexahydro-4-pyrimidinyl)-N-(4-fluorobenzyl)-8-hydroxy[1,6]-naphthyridine-7-carboxamide;

30

5-(1-methyl-2,6-dioxohexahydro-4-pyrimidinyl)-N-(4-fluorobenzyl)-8-hydroxy[1,6]-naphthyridine-7-carboxamide;

- 5-(3-methyl-2,6-dioxohexahydro-4-pyrimidinyl)-N-(4-fluorobenzyl)-8-hydroxy[1,6]-naphthyridine-7-carboxamide;
- 5 *N*-(4-fluorobenzyl)-8-hydroxy-5-(5-oxo-1,4-thiazepan-7-yl)[1,6]naphthyridine-7-carboxamide;
- N*-(4-fluorobenzyl)-8-hydroxy-5-(1-oxido-5-oxo-1,4-thiazepan-7-yl)-[1,6]naphthyridine-7-carboxamide;
- 10 *N*-(4-fluorobenzyl)-8-hydroxy-5-(1,1-dioxido-5-oxo-1,4-thiazepan-7-yl)[1,6]-naphthyridine-7-carboxamide;
- N*-(4-fluorobenzyl)-5-{[2-(dimethylamino)-2-oxoethyl]sulfanyl}-8-hydroxy-[1,6]naphthyridine-7-carboxamide;
- 15 *N*-(4-fluorobenzyl)-5-[2-(dimethylamino)-2-oxoethoxy]-8-hydroxy-[1,6]naphthyridine-7-carboxamide;
- N*-(4-fluorobenzyl)-5-{[2-(dimethylamino)-2-oxoethyl](methylsulfonyl)amino}-8-hydroxy-[1,6]naphthyridine-7-carboxamide;
- 20 *N*-(4-fluorobenzyl)-5-[3-(dimethylamino)-3-oxopropyl]-8-hydroxy-[1,6]naphthyridine-7-carboxamide;
- N*-(4-fluorobenzyl)-5-[(1*E*)-3-(dimethylamino)-3-oxo-1-propenyl]-8-hydroxy-[1,6]naphthyridine-7-carboxamide;
- N*-(4-fluorobenzyl)-5-[2-(3-oxo-1-piperazinyl)ethyl]-8-hydroxy-[1,6]naphthyridine-7-carboxamide;
- 30 *N*-(4-fluorobenzyl)-5-[2-(2-oxo-1-imidazolidinyl)ethyl]-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

N-(4-fluorobenzyl)-5-[2-(2-oxo-1-piperazinyl)ethyl]-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

5 5-(1,1-dioxido-1,2-thiazinan-2-yl)-*N*-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

5-(1,1-dioxidoisothiazolidin-2-yl)-*N*-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

10 *N*-(4-fluorobenzyl)-8-hydroxy-5-[methyl(methylsulfonyl)amino]-1,6-naphthyridine-7-carboxamide;

15 5-[acetyl(methyl)amino]-*N*-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

5-[[[(dimethylamino)carbonyl](methyl)amino]-*N*-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

20 *N*-(4-fluorobenzyl)-6-hydroxy-3-methyl-1-(2-morpholin-4-ylethyl)-2-oxo-2,3-dihydro-1H-pyrimido[4,5,6-de]-1,6-naphthyridine-5-carboxamide;

N-(4-fluorobenzyl)-8-hydroxy-5-thiomorpholin-4-yl-1,6-naphthyridine-7-carboxamide;

25 5-(1,1-dioxidothiomorpholin-4-yl)-*N*-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

N-(4-fluorobenzyl)-8-hydroxy-5-(4-methyl-3-oxopiperazin-1-yl)-1,6-naphthyridine-7-carboxamide;

30 1-(7-{[4-fluorobenzyl]amino}carbonyl)-8-hydroxy-1,6-naphthyridin-5-yl-L-prolinamide;

N-(4-fluorobenzyl)-8-hydroxy-5-(2-oxotetrahydropyrimidin-1(2*H*)-yl)-1,6-naphthyridine-7-carboxamide;

5 *N*-(4-fluorobenzyl)-8-hydroxy-5-(2-oxoimidazolidin-1-yl)-1,6-naphthyridine-7-carboxamide;

N-7-(4-fluorobenzyl)-8-hydroxy-*N* 5, *N* 5-dimethyl-1,6-naphthyridine-5,7-dicarboxamide;

10 *N* 7-(4-fluorobenzyl)-8-hydroxy-*N* 5-isopropyl-*N* 5-methyl-1,6-naphthyridine-5,7-dicarboxamide;

15 *N* 7-(4-fluorobenzyl)-8-hydroxy-*N* 5-(2-morpholin-4-ylethyl)-1,6-naphthyridine-5,7-dicarboxamide;

N 5-[2-(dimethylamino)-2-oxoethyl]-*N* 7-(4-fluorobenzyl)-8-hydroxy-*N* 5-methyl-1,6-naphthyridine-5,7-dicarboxamide;

20 *N*-(4-fluorobenzyl)-5-(1,1-dioxido-4-oxo-1,2,5-thiadiazepan-2-yl)-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

and pharmaceutically acceptable salts thereof.

24. A compound according to claim 21, which is a compound
25 selected from the group consisting of

N-(4-fluorobenzyl)-5-(1,1-dioxido-5-methyl-4-oxo-1,2,5-thiadiazepan-2-yl)-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

30 *N*-(4-fluorobenzyl)-5-(1,1-dioxido-5-ethyl-4-oxo-1,2,5-thiadiazepan-2-yl)-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

N-(4-fluorobenzyl)-5-(1,1-dioxido-1,5,2-dithiazepan-2-yl)-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

N-(4-fluorobenzyl)-5-(1,1,5,5-tetraoxido-1,5,2-dithiazepan-2-yl)-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

- 5 *N*-(4-fluorobenzyl)-5-(1,4-dimethyl-7-oxo-1,4-diazepan-5-yl)-8-hydroxy-[1,6]-naphthyridine-7-carboxamide;

N-(4-fluorobenzyl)-5-(1-methyl-7-oxo-1,4-diazepan-5-yl)-8-hydroxy-[1,6]-naphthyridine-7-carboxamide;

- 10 *N*-(4-Fluorobenzyl)-5-(7-oxo-1,4-diazepan-5-yl)-8-hydroxy-[1,6]-naphthyridine-7-carboxamide

- 15 *N*-(4-fluorobenzyl)-5-[4-(methylsulfonyl)thiomorpholin-2-yl]-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

N-(4-fluorobenzyl)-5-[4-(methylsulfonyl)-1-oxidithiomorpholin-2-yl]-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

- 20 *N*-(4-fluorobenzyl)-5-[4-(methylsulfonyl)-1,1-dioxidithiomorpholin-2-yl]-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

N-(4-fluorobenzyl)-5-(2-Acetyl-1-methylpyrazolidin-3-yl)-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

- 25 *N*-(4-fluorobenzyl)-5-(1,1-dioxido-1,2,5-thiadiazepan-2-yl)-8-hydroxy-[1,6]naphthyridine-7-carboxamide;

- 30 *N*-(4-fluorobenzyl)-8-hydroxy-5-[5-(methylsulfonyl)-1,1-dioxido-1,2,5-thiadiazepan-2-yl]-1,6-naphthyridine-7-carboxamide;

N-(4-fluorobenzyl)-8-hydroxy-5(6-methyl-1,1-dioxido-1,2,6-thiadiazinan-2yl)-1,6-naphthyridine-7-carboxamide;

N-(4-fluorobenzyl)-8-hydroxy-5-{methyl[(1-methyl-1*H*-imidazol-4-yl)sulfonyl]amino}-1,6-naphthyridine-7-carboxamide;

5 *N*-[4-fluoro-2-(methylsulfonyl)benzyl]-8-hydroxy-5-{methyl[(1-methyl-1*H*-imidazol-4-yl)sulfonyl]amino}-1,6-naphthyridine-7-carboxamide;

N-7-[4-fluoro-2-(methylsulfonyl)benzyl]-8-hydroxy-*N*-5-,*N*-5-dimethyl-1,6-naphthyridine-5,7-dicarboxamide;

10 *N*-[4-fluoro-2-(methylsulfonyl)benzyl]-8-hydroxy-5-(1,1-dioxido-1,2-thiazinan-2-yl)-1,6-naphthyridine-7-carboxamide

N-(2-(methylsulfonyl)benzyl)-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

15 *N*-(2-[(dimethylaminosulfonyl)-4-fluorobenzyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide;

20 *N*-(4-fluorobenzyl)-8-hydroxy-5-(1-methyl-5-oxopyrrolidin-3-yl)-1,6-naphthyridine-7-carboxamide;

and pharmaceutically acceptable salts thereof.

25 25. A pharmaceutical composition comprising a therapeutically effective amount of a compound according to claim 1, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

30 26. A method of inhibiting HIV integrase in a subject in need thereof which comprises administering to the subject a therapeutically effective amount of the compound according to claim 1 or a pharmaceutically acceptable salt thereof.

27. A method for preventing or treating infection by HIV or for preventing, treating or delaying the onset of AIDS in a subject in need thereof which

comprises administering to the subject a therapeutically effective amount of the compound according to claim 1 or a pharmaceutically acceptable salt thereof.

28. The method according to claim 27, wherein the compound is administered in combination with a therapeutically effective amount of at least one HIV infection/AIDS treatment agent selected from the group consisting of HIV/AIDS antiviral agents, immunomodulators, and anti-infective agents.

29. The method according to claim 27, wherein the compound is administered in combination with a therapeutically effective amount of at least one antiviral selected from the group consisting of HIV protease inhibitors, non-nucleoside HIV reverse transcriptase inhibitors and nucleoside HIV reverse transcriptase inhibitors.

30. A method of inhibiting HIV integrase in a subject in need thereof which comprises administering to the subject a therapeutically effective amount of the composition according to claim 25.

31. A method for preventing or treating infection by HIV or for preventing, treating, or delaying the onset of AIDS in a subject in need thereof which comprises administering to the subject a therapeutically effective amount of the composition according to claim 25.

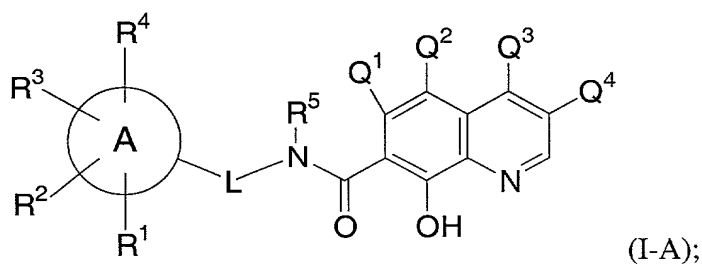
32. A pharmaceutical composition which comprises the product prepared by combining an effective amount of a compound of claim 1, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

33. A combination useful for inhibiting HIV integrase, for treating or preventing infection by HIV, or for preventing, treating or delaying the onset of AIDS, which is a therapeutically effective amount of a compound according to claim 1, or a pharmaceutically acceptable salt thereof, and a therapeutically effective amount of an HIV infection/AIDS treatment agent selected from the group consisting of HIV/AIDS antiviral agents, immunomodulators, and anti-infective agents.

34. The combination according to claim 33, wherein the HIV infection/AIDS treatment agent is an antiviral selected from the group consisting of HIV protease inhibitors, non-nucleoside HIV reverse transcriptase inhibitors and nucleoside HIV reverse transcriptase inhibitors.

5

35. A method of inhibiting HIV integrase, for preventing or treating infection by HIV or for preventing, treating or delaying the onset of AIDS in a subject in need thereof, which comprises administering to the subject a therapeutically effective amount of a compound of Formula (I-A):



10

wherein A is phenyl or phenyl fused to a carbocycle to form a fused carbocyclic ring system;

A is substituted by R¹, R², R³, and R⁴;

15

L is a linker connecting a ring atom of A to the nitrogen of the -N(R⁵)- moiety, wherein L is

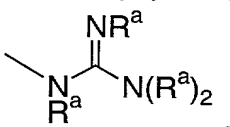
- (i) a single bond,
- (ii) -(C₁₋₆ alkyl)-,
- (iii) -(C₂₋₆ alkenyl)-,
- (iv) -(C₀₋₆ alkyl)-(C₃₋₆ cycloalkyl)-(C₀₋₆ alkyl)-, or
- (v) -(C₀₋₆ alkyl)-M-(C₀₋₆ alkyl)-, wherein M is -N(R^a)-,

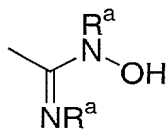
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-OC(=O)-, or -C(=O)O-; wherein the alkenyl in (iii) and the alkyls in (ii), (iv), and (v) are independently and optionally substituted with 1, 2, or 3 substituents independently selected from the group consisting of halogen, -OH, -C₁₋₆ alkyl, -O-C₁₋₆ alkyl, -CO₂R^a, -CO₂(CH₂)₁₋₂R^k, -C₁₋₆ alkyl-OR^a, -R^k, -(CH₂)₁₋₂R^k, -CH(OR^a)-R^k, and -CH(N(R^a)₂)-R^k;

25

each of Q¹, Q², Q³, and Q⁴ is independently:

- (1) -H,
- (2) -C₁₋₆ alkyl,
- (3) -C₁₋₆ haloalkyl,
- (4) -O-C₁₋₆ alkyl,
- (5) -O-C₁₋₆ haloalkyl,
- (6) halo,
- (7) -CN,
- (8) -C₁₋₆ alkyl-OR^a,
- (9) -C₀₋₆ alkyl-C(=O)R^a,
- (10) -C₀₋₆ alkyl-CO₂R^a,
- (11) -C₀₋₆ alkyl-SR^a,
- (12) -N(R^a)₂,
- (13) -C₁₋₆ alkyl-N(R^a)₂,
- (14) -C₀₋₆ alkyl-C(=O)N(R^a)₂,
- (15) -C₀₋₆ alkyl-G-C₁₋₆ alkyl-C(=O)N(R^a)₂, wherein G is O, S, N(R^a), or N(SO₂R^a),
- (16) -N(R^a)-C(R^a)=O,
- (17) -C₁₋₆ alkyl-N(R^a)-C(R^a)=O,
- (18) -C(=O)-N(R^a)-C₁₋₆ alkyl-[C(=O)]₀₋₁-N(R^a)₂,
- (19) -C(=O)-N(R^a)-C₁₋₆ alkyl substituted with 1 or 2 -OR^a,
- (20) -C₀₋₆ alkyl-SO₂R^a,
- (21) -C₀₋₆ alkyl-N(R^a)SO₂R^a,
- (22) -C₂₋₆ alkenyl,
- (23) -C₂₋₆ alkenyl-C(=O)-N(R^a)₂,
- (24) -C₂₋₅ alkynyl,
- (25) -C₂₋₅ alkynyl-CH₂N(R^a)₂,
- (26) -C₂₋₅ alkynyl-CH₂OR^a,
- (27) -C₂₋₅ alkynyl-CH₂S(O)_n-R^a, or
- (28)  ,



- (29) ,
- (30) $-\text{C}(=\text{NR}^a)-\text{N}(\text{R}^a)_2$,
- (31) $-\text{N}(\text{R}^a)-\text{C}_{1-6} \text{ alkyl}-\text{S}(\text{O})_n\text{R}^a$,
- (32) $-\text{N}(\text{R}^a)-\text{C}_{1-6} \text{ alkyl}-\text{OR}^a$,
- (33) $-\text{N}(\text{R}^a)-\text{C}_{1-6} \text{ alkyl}-\text{N}(\text{R}^a)_2$,
- (34) $-\text{N}(\text{R}^a)-\text{C}_{1-6} \text{ alkyl}-\text{N}(\text{R}^a)-\text{C}(\text{R}^a)=\text{O}$,
- (35) $-\text{N}(\text{R}^a)-\text{C}_{0-6} \text{ alkyl}-[\text{C}(=\text{O})]_{1-2}\text{N}(\text{R}^a)_2$,
- (36) $-\text{N}(\text{R}^a)-\text{C}_{1-6} \text{ alkyl}-\text{CO}_2\text{R}^a$,
- (37) $-\text{N}(\text{R}^a)\text{C}(=\text{O})\text{N}(\text{R}^a)-\text{C}_{1-6} \text{ alkyl}-\text{C}(=\text{O})\text{N}(\text{R}^a)_2$,
- (38) $-\text{N}(\text{R}^a)\text{C}(=\text{O})-\text{C}_{1-6} \text{ alkyl}-\text{N}(\text{R}^a)_2$,
- (39) $-\text{N}(\text{R}^a)-\text{SO}_2-\text{N}(\text{R}^a)_2$,
- (40) $-\text{R}^k$,
- (41) $-\text{C}_{1-6} \text{ alkyl}$ substituted with R^k ,
- (42) $-\text{C}_{1-6} \text{ haloalkyl}$ substituted with R^k ,
- (43) $-\text{C}_{2-5} \text{ alkenyl}-\text{R}^k$,
- (44) $-\text{C}_{2-5} \text{ alkynyl}-\text{R}^k$,
- (45) $-\text{C}_{0-6} \text{ alkyl}-\text{O}-\text{R}^k$,
- (46) $-\text{C}_{0-6} \text{ alkyl}-\text{O}-\text{C}_{1-6} \text{ alkyl}-\text{R}^k$,
- (47) $-\text{C}_{0-6} \text{ alkyl}-\text{S}(\text{O})_n-\text{R}^k$,
- (48) $-\text{C}_{0-6} \text{ alkyl}-\text{S}(\text{O})_n-\text{C}_{1-6} \text{ alkyl}-\text{R}^k$,
- (49) $-\text{O}-\text{C}_{1-6} \text{ alkyl}-\text{OR}^k$,
- (50) $-\text{O}-\text{C}_{1-6} \text{ alkyl}-\text{O}-\text{C}_{1-6} \text{ alkyl}-\text{R}^k$,
- (51) $-\text{O}-\text{C}_{1-6} \text{ alkyl}-\text{S}(\text{O})_n-\text{R}^k$,
- (52) $-\text{C}_{0-6} \text{ alkyl}-\text{N}(\text{R}^c)-\text{R}^k$,
- (53) $-\text{C}_{0-6} \text{ alkyl}-\text{N}(\text{R}^c)-\text{C}_{1-6} \text{ alkyl}$ substituted with one or two R^k groups,
- (54) $-\text{C}_{0-6} \text{ alkyl}-\text{N}(\text{R}^c)-\text{C}_{1-6} \text{ alkyl}-\text{OR}^k$,
- (55) $-\text{C}_{0-6} \text{ alkyl}-\text{C}(=\text{O})-\text{R}^k$,
- (56) $-\text{C}_{0-6} \text{ alkyl}-\text{C}(=\text{O})\text{N}(\text{R}^a)-\text{R}^k$,
- (57) $-\text{C}_{0-6} \text{ alkyl}-\text{N}(\text{R}^a)\text{C}(=\text{O})-\text{R}^k$,
- (58) $-\text{C}_{0-6} \text{ alkyl}-\text{C}(=\text{O})\text{N}(\text{R}^a)-\text{C}_{1-6} \text{ alkyl}-\text{R}^k$, or
- (59) $-\text{C}_{0-6} \text{ alkyl}-\text{N}(\text{R}^a)-\text{C}_{0-6} \text{ alkyl}-\text{S}(\text{O})_n-\text{R}^k$;

each of R¹ and R² is independently:

- | | | |
|----|------|---|
| | (1) | -H, |
| | (2) | -C ₁₋₆ alkyl, |
| 5 | (3) | -C ₁₋₆ haloalkyl, |
| | (4) | -O-C ₁₋₆ alkyl, |
| | (5) | -O-C ₁₋₆ haloalkyl, |
| | (6) | -OH |
| | (7) | halo, |
| 10 | (8) | -NO ₂ , |
| | (9) | -CN, |
| | (10) | -C ₁₋₆ alkyl-OR ^a , |
| | (11) | -C ₀₋₆ alkyl-C(=O)R ^a , |
| | (12) | -C ₀₋₆ alkyl-CO ₂ R ^a , |
| 15 | (13) | -C ₀₋₆ alkyl-SR ^a , |
| | (14) | -N(R ^a) ₂ , |
| | (15) | -C ₁₋₆ alkyl-N(R ^a) ₂ , |
| | (16) | -C ₀₋₆ alkyl-C(=O)N(R ^a) ₂ , |
| | (17) | -C ₁₋₆ alkyl-N(R ^a)-C(R ^a)=O, |
| 20 | (18) | -SO ₂ R ^a , |
| | (19) | -N(R ^a)SO ₂ R ^a , |
| | (20) | -C ₂₋₅ alkenyl, |
| | (21) | -O-C ₁₋₆ alkyl-OR ^a , |
| | (22) | -O-C ₁₋₆ alkyl-SR ^a , |
| 25 | (23) | -O-C ₁₋₆ alkyl-NH-CO ₂ R ^a , |
| | (24) | -O-C ₂₋₆ alkyl-N(R ^a) ₂ , |
| | (25) | -N(R ^a)-C ₁₋₆ alkyl-SR ^a , |
| | (26) | -N(R ^a)-C ₁₋₆ alkyl-OR ^a , |
| | (27) | -N(R ^a)-C ₁₋₆ alkyl-N(R ^a) ₂ , |
| 30 | (28) | -N(R ^a)-C ₁₋₆ alkyl-N(R ^a)-C(R ^a)=O, |
| | (29) | -R ^k , |
| | (30) | -C ₁₋₆ alkyl substituted with 1 or 2 R ^k groups, |
| | (31) | -C ₁₋₆ haloalkyl substituted with 1 or 2 R ^k groups, |
| | (32) | -C ₂₋₅ alkenyl-R ^k , |

- (33) $-C_{2-5}$ alkynyl- R^k ,
 (34) $-O-R^k$,
 (35) $-O-C_{1-6}$ alkyl- R^k ,
 (36) $-S(O)_n-R^k$,
 5 (37) $-S(O)_n-C_{1-6}$ alkyl- R^k ,
 (38) $-O-C_{1-6}$ alkyl- OR^k ,
 (39) $-O-C_{1-6}$ alkyl- $O-C_{1-6}$ alkyl- R^k ,
 (40) $-O-C_{1-6}$ alkyl- $S(O)_nR^k$,
 (41) $-C_{1-6}$ alkyl $(OR^b)(R^k)$,
 10 (42) $-C_{1-6}$ alkyl $(OR^b)(-C_{1-6}$ alkyl- $R^k)$,
 (43) $-C_{0-6}$ alkyl- $N(R^b)(R^k)$,
 (44) $-C_{0-6}$ alkyl- $N(R^b)(-C_{1-6}$ alkyl- $R^k)$,
 (45) $-C_{1-6}$ alkyl $S(O)_nR^k$,
 (46) $-C_{1-6}$ alkyl $S(O)_n-C_{1-6}$ alkyl- R^k ,
 15 (47) $-C_{0-6}$ alkyl $C(O)-R^k$, or
 (48) $-C_{0-6}$ alkyl $C(O)-C_{1-6}$ alkyl- R^k ,

each of R^3 and R^4 is independently

- (1) $-H$,
 20 (2) halo,
 (3) $-CN$,
 (4) $-NO_2$,
 (5) $-OH$,
 (6) C_{1-6} alkyl,
 25 (7) C_{1-6} haloalkyl,
 (8) $-O-C_{1-6}$ alkyl,
 (9) $-O-C_{1-6}$ haloalkyl,
 (10) $-C_{1-6}$ alkyl- OR^a ,
 (11) $-C_{0-6}$ alkyl- $C(=O)R^a$,
 30 (12) $-C_{0-6}$ alkyl- CO_2R^a ,
 (13) $-C_{0-6}$ alkyl- SR^a ,
 (14) $-N(R^a)_2$,
 (15) $-C_{1-6}$ alkyl- $N(R^a)_2$,
 (16) $-C_{0-6}$ alkyl- $C(=O)N(R^a)_2$.

- 5
- (17) $-\text{SO}_2\text{R}^a$,
 - (18) $-\text{N}(\text{R}^a)\text{SO}_2\text{R}^a$,
 - (19) $-\text{C}_{2-5}$ alkenyl,
 - (20) $-\text{O}-\text{C}_{1-6}$ alkyl- OR^a ,
 - (21) $-\text{O}-\text{C}_{1-6}$ alkyl- SR^a ,
 - (22) $-\text{O}-\text{C}_{1-6}$ alkyl- $\text{NH}-\text{CO}_2\text{R}^a$, or
 - (23) $-\text{O}-\text{C}_{2-6}$ alkyl- $\text{N}(\text{R}^a)_2$;

R^5 is

- 10
- (1) $-\text{H}$,
 - (2) $-\text{C}_{1-6}$ alkyl, optionally substituted with from 1 to 5 substituents independently selected from halogen, $-\text{O}-\text{C}_{1-6}$ alkyl, $-\text{O}-\text{C}_{1-6}$ haloalkyl, $-\text{N}(\text{R}^a)_2$, and $-\text{CO}_2\text{R}^a$;
- 15
- (3) aryl optionally substituted with from 1 to 5 substituents independently selected from halogen, C_{1-6} alkyl, C_{1-6} haloalkyl, $-\text{O}-\text{C}_{1-6}$ alkyl, $-\text{O}-\text{C}_{1-6}$ haloalkyl, $-\text{S}-\text{C}_{1-6}$ alkyl, $-\text{CN}$, and $-\text{OH}$, or
 - (4) $-\text{C}_{1-6}$ alkyl substituted with R^k ;

20 each R^a is independently $-\text{H}$, $-\text{C}_{1-6}$ alkyl, or $-\text{C}_{1-6}$ haloalkyl;

each R^b is independently:

- 25
- (1) $-\text{H}$,
 - (2) $-\text{C}_{1-4}$ alkyl,
 - (3) $-\text{C}_{1-4}$ haloalkyl,
 - (4) $-\text{R}^k$,
 - (5) $-\text{C}_{2-3}$ alkenyl,
 - (6) $-\text{C}_{1-4}$ alkyl- R^k ,
 - (7) $-\text{C}_{2-3}$ alkenyl- R^k ,
- 30
- (8) $-\text{S}(\text{O})_n-\text{R}^k$, or
 - (9) $-\text{C}(\text{O})-\text{R}^k$;

each R^c is independently

- (1) $-\text{H}$,

- 5
- (2) -C₁₋₆ alkyl,
 - (3) -C₁₋₆ alkyl substituted with -N(R^a)₂, or
 - (4) -C₁₋₄ alkyl-aryl, wherein aryl is optionally substituted with 1 to 5 substituents independently selected from halogen, C₁₋₆ alkyl, C₁₋₆ haloalkyl, -O-C₁₋₆ alkyl, -O-C₁₋₆ haloalkyl, -S-C₁₋₆ alkyl, -CN, and -OH;

each R^k is independently carbocycle or heterocycle, wherein the carbocycle and heterocycle are unsubstituted or substituted with from 1 to 5 substituents each of which is independently selected from

- 10
- (a) halogen,
 - (b) -C₁₋₆ alkyl,
 - (c) -C₁₋₆ haloalkyl,
 - (d) -O-C₁₋₆ alkyl,
 - (e) -O-C₁₋₆ haloalkyl,
 - (f) -S-C₁₋₆ alkyl,
 - (g) -CN,
 - (h) -OH,
 - (i) oxo,
 - (j) -C₀₋₆ alkyl-C(=O)N(R^a)₂,
 - (k) -C₀₋₆ alkyl-C(=O)R^a,
 - (l) -N(R^a)-C(=O)R^a,
 - (m) -N(R^a)-CO₂R^a,
 - (n) -C₁₋₆ alkyl-N(R^a)-C(=O)R^a,
 - (o) -N(R^a)₂,
 - (p) -C₁₋₆ alkyl-N(R^a)₂,
 - (q) -C₁₋₆ alkyl-OR^a,
 - (r) -C₀₋₆ alkyl-CO₂R^a,
 - (s) -C₀₋₆ alkyl-O-C₁₋₆ alkyl-OR^a,
 - (t) -SO₂R^a,
 - (u) -SO₂N(R^a)₂,
 - (v) -C₀₋₆ alkyl-CO₂-C₂₋₅ alkenyl,
 - (w) aryl,
 - (x) aryloxy-,
- 15
- 20
- 25
- 30

- (y) -C₁₋₄ alkyl substituted with aryl,
 (z) heteromonocycle,
 (aa) -C₁₋₄ alkyl substituted with a heteromonocycle,
 (bb) heteromonocyclylcarbonyl-C₀₋₆ alkyl-, and
 (cc) N-heteromonocyclyl-N-C₁₋₆ alkyl-amino-;
 wherein the aryl group in (w) aryl, (x) aryloxy, and (y) -C₁₋₄ alkyl substituted with aryl, is optionally substituted with from 1 to 4 substituents independently selected from halogen, C₁₋₆ alkyl, -O-C₁₋₆ alkyl, C₁₋₆ alkyl substituted with N(R^a)₂, C₁₋₆ haloalkyl, and -OH;
 and
 wherein the heteromonocyclyl group in (z) heteromonocycle, (aa) -C₁₋₄ alkyl substituted with a heteromonocycle, (bb) heteromonocyclyl-carbonyl-C₀₋₆ alkyl-, and (cc) N-heteromonocyclyl-N-C₁₋₆ alkyl-amino- is optionally substituted with from 1 to 4 substituents independently selected from halogen, C₁₋₆ alkyl, -O-C₁₋₆ alkyl, C₁₋₆ haloalkyl, oxo, and -OH; and

each n is independently an integer equal to 0, 1 or 2;

or a pharmaceutically acceptable salt thereof.

36. The method according to claim 35, wherein the compound is selected from the group consisting of:

benzyl 8-hydroxyquinoline-7-carboxamide;

1-Methyl-3-phenylpropyl 8-hydroxyquinoline-7-carboxamide;

2-Phenylcyclopropyl 8-hydroxyquinoline-7-carboxamide;

1-Indanyl 8-hydroxyquinoline-7-carboxamide;

N-[(2E)-3-Phenyl-2-propenyl] 8-hydroxyquinoline-7-carboxamide;

Benzyl 8-Hydroxyquinoline-7-carboxamide;

and pharmaceutically acceptable salts thereof.

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